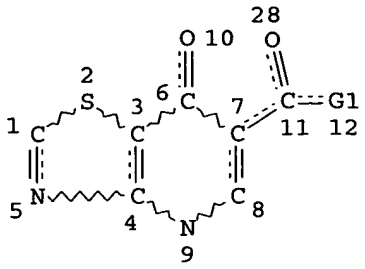
=> d stat que l51; d stat que l55; d his nofile
L49 STR



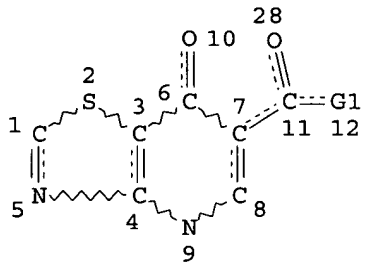
VAR G1=O/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
L51 54 SEA FILE=REGISTRY SSS FUL L49

100.0% PROCESSED 71 ITERATIONS 54 ANSWERS
SEARCH TIME: 00.00.01

L49 STR



VAR G1=O/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
L55 2 SEA FILE=MARPAT SSS FUL L49

100.0% PROCESSED 904 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

(FILE 'HOME' ENTERED AT 14:15:19 ON 29 JUN 2006)

FILE 'STNGUIDE' ENTERED AT 14:15:29 ON 29 JUN 2006

FILE 'MEDLINE, DRUGU, WPIX, BIOSIS, EMBASE, SCISEARCH' ENTERED AT 14:17:09 ON 29 JUN 2006

L1	24612	SEA ABB=ON	ANDERSON D?/AU
L2	129	SEA ABB=ON	BEUTEL B?/AU
L3	8715	SEA ABB=ON	COOPER C?/AU
L4	6940	SEA ABB=ON	GU Y?/AU
L5	170	SEA ABB=ON	HINMAN M?/AU
L6	107	SEA ABB=ON	KALVIN D?/AU
L7	246	SEA ABB=ON	KEYES R?/AU
L8	27	SEA ABB=ON	SEARLE X?/AU
L9	9669	SEA ABB=ON	WAGNER R?/AU
L10	1	SEA ABB=ON	L1 AND L2 AND L3 AND L4 AND L5 AND L6 AND L7 AND L8 AND L9
L11	142507	SEA ABB=ON	?THIAZOL?
L12	115	SEA ABB=ON	(L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9) AND L11
L13	17	SEA ABB=ON	L1 AND (L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L14	41	SEA ABB=ON	L2 AND (L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L15	12	SEA ABB=ON	L3 AND (L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L16	10	SEA ABB=ON	L4 AND (L5 OR L6 OR L7 OR L8 OR L9)
L17	11	SEA ABB=ON	L5 AND (L6 OR L7 OR L8 OR L9)
L18	8	SEA ABB=ON	L6 AND (L7 OR L8 OR L9)
L19	2	SEA ABB=ON	L7 AND (L8 OR L9)
L20	1	SEA ABB=ON	L8 AND L9
L21	4	SEA ABB=ON	(L13 OR L14 OR L15 OR L16 OR L17 OR L18) AND L11
L22	1316759	SEA ABB=ON	ANTIBACTER? OR ANTIMICRO? OR ANTIBIOTIC? OR ANTI(W)(BACTER? OR MICROB? OR BIOTIC?)
L23	26	SEA ABB=ON	L12 AND L22 D SCAN L20
L24	735	SEA ABB=ON	?PIPERIDINON?
L25	1	SEA ABB=ON	(L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9) AND L24
L26	17	SEA ABB=ON	(L12 AND (L13 OR L14 OR L15 OR L16 OR L17 OR L18)) OR (L13 AND (L14 OR L15 OR L16 OR L17 OR L18)) OR (L14 AND (L15 OR L16 OR L17 OR L18)) OR (L15 AND (L16 OR L17 OR L18)) OR (L16 AND (L17 OR L18)) OR (L17 AND L18)

FILE 'STNGUIDE' ENTERED AT 14:23:43 ON 29 JUN 2006

FILE 'CAPLUS' ENTERED AT 14:24:05 ON 29 JUN 2006

L27	5325	SEA ABB=ON	ANDERSON D?/AU
L28	46	SEA ABB=ON	BEUTEL B?/AU
L29	1590	SEA ABB=ON	COOPER C?/AU
L30	4000	SEA ABB=ON	GU Y?/AU
L31	47	SEA ABB=ON	HINMAN M?/AU
L32	48	SEA ABB=ON	KALVIN D?/AU
L33	168	SEA ABB=ON	KEYES R?/AU
L34	14	SEA ABB=ON	SEARLE X?/AU
L35	3545	SEA ABB=ON	WAGNER R?/AU
L36	1	SEA ABB=ON	L27 AND L28 AND L29 AND L30 AND L31 AND L32 AND L33 AND L34 AND L35

```
                D SCAN

     FILE 'MEDLINE, DRUGU, WPIX, BIOSIS, EMBASE, SCISEARCH' ENTERED AT
     14:27:17 ON 29 JUN 2006
L37        12621 SEA ABB=ON  ?PYRIDON?
L38           20 SEA ABB=ON  (L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR
                  L9) AND L37
L39           11 SEA ABB=ON  L38 AND L22
L40           12 SEA ABB=ON  L38 AND (L22 OR L11)

     FILE 'CAPLUS' ENTERED AT 14:28:39 ON 29 JUN 2006
L41         9746 SEA ABB=ON  ?PYRIDON?/BI
L42       108515 SEA ABB=ON  ?THIAZOL?/BI
L43            4 SEA ABB=ON  (L27 OR L28 OR L29 OR L30 OR L31 OR L32 OR L33 OR
                  L34 OR L35) AND L41

     FILE 'STNGUIDE' ENTERED AT 14:29:19 ON 29 JUN 2006

     FILE 'MEDLINE, DRUGU, WPIX, BIOSIS, EMBASE, SCISEARCH' ENTERED AT
     14:29:41 ON 29 JUN 2006
                D QUE L10
                D QUE L19
                D QUE L20
                D QUE L21
                D QUE L40
                D QUE L26
L44           30 SEA ABB=ON  (L10 OR L19 OR L20 OR L21 OR L40 OR L26)

     FILE 'CAPLUS' ENTERED AT 14:30:05 ON 29 JUN 2006
                D QUE L36
                D QUE L43
L45            4 SEA ABB=ON  L36 OR L43

     FILE 'CAPLUS, MEDLINE, DRUGU, WPIX, BIOSIS, EMBASE, SCISEARCH' ENTERED AT
     14:30:16 ON 29 JUN 2006
L46           20 DUP REM L45 L44 (14 DUPLICATES REMOVED)
                       ANSWERS '1-4' FROM FILE CAPLUS
                       ANSWERS '5-8' FROM FILE MEDLINE
                       ANSWERS '9-13' FROM FILE WPIX
                       ANSWERS '14-18' FROM FILE BIOSIS
                       ANSWER '19' FROM FILE EMBASE
                       ANSWER '20' FROM FILE SCISEARCH
                D IBIB ED ABS HITIND 1-4
                D IALL 5-8
                D IALL ABEQ TECH 9-13
                D IALL 14-20

     FILE 'REGISTRY' ENTERED AT 14:36:12 ON 29 JUN 2006
L47             STR
L48            1 SEA SSS SAM L47
                D SCAN
L49             STR L47
L50            2 SEA SSS SAM L49
                D SCAN
L51           54 SEA SSS FUL L49
                SAVE TEMP L51 WAR002FULL/A

     FILE 'CAPLUS' ENTERED AT 14:42:00 ON 29 JUN 2006
L52            5 SEA ABB=ON  L51
```

```
     FILE 'REGISTRY' ENTERED AT 14:42:12 ON 29 JUN 2006
L53             ANALYZE L51 1- LC :       5 TERMS
                D

     FILE 'MARPAT' ENTERED AT 14:42:54 ON 29 JUN 2006
L54           0 SEA SSS SAM L49
                D QUE
L55           2 SEA SSS FUL L49
                SAVE TEMP L55 WAR022MARP/A

     FILE 'REGISTRY' ENTERED AT 14:43:47 ON 29 JUN 2006
L56         364 SEA ABB=ON  C12H14N4O3S/MF
L57           2 SEA ABB=ON  L56 AND L51
L58         569 SEA ABB=ON  C12H14N4O3S?/MF
L59           4 SEA ABB=ON  L51 AND L58
                D SCAN
L60           2 SEA ABB=ON  L59 AND PYRROLIDINYL

     FILE 'REGISTRY' ENTERED AT 14:45:04 ON 29 JUN 2006
                D IDE L60 1-2

     FILE 'CAPLUS, USPATFULL' ENTERED AT 14:45:26 ON 29 JUN 2006
L61           2 SEA ABB=ON  L60
L62           1 DUP REM L61 (1 DUPLICATE REMOVED)
                      ANSWER '1' FROM FILE CAPLUS
                D IBIB ED ABS HITRN L62

     FILE 'REGISTRY' ENTERED AT 14:45:54 ON 29 JUN 2006
                D STAT QUE L51

     FILE 'CAPLUS' ENTERED AT 14:46:09 ON 29 JUN 2006
L63           5 SEA ABB=ON  L51
L64           4 SEA ABB=ON  L63 NOT (L45 OR L60)

     FILE 'USPATFULL, TOXCENTER' ENTERED AT 14:46:56 ON 29 JUN 2006
L65           6 SEA ABB=ON  L51

     FILE 'MARPAT' ENTERED AT 14:46:57 ON 29 JUN 2006
                D STAT QUE L55

     FILE 'CAPLUS, MARPAT, USPATFULL, TOXCENTER' ENTERED AT 14:47:11 ON 29 JUN
     2006
L66           6 DUP REM L64 L55 L65 (6 DUPLICATES REMOVED)
                      ANSWERS '1-4' FROM FILE CAPLUS
                      ANSWER '5' FROM FILE MARPAT
                      ANSWER '6' FROM FILE TOXCENTER
                D IBIB ED ABS HITSTR 1-4
                D IBIB ABS QHIT 5
                D IALL 6

     FILE 'REGISTRY' ENTERED AT 14:48:06 ON 29 JUN 2006
L67           1 SEA ABB=ON  65095-79-6
L68           1 SEA ABB=ON  65095-78-5
                D IDE L67
                D IDE L68

     FILE 'HOME' ENTERED AT 14:48:22 ON 29 JUN 2006
                D STAT QUE L51
                D STAT QUE L55
```

```
=> fil medl drugu wpix biosis embase scisearch;d que l10; d que l19; d que l20; d
que l21; d que l40; d que l26
FILE 'MEDLINE' ENTERED AT 14:29:41 ON 29 JUN 2006

FILE 'DRUGU' ENTERED AT 14:29:41 ON 29 JUN 2006
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FILE 'SCISEARCH' ENTERED AT 14:29:41 ON 29 JUN 2006
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L1         24612 SEA ANDERSON D?/AU
L2           129 SEA BEUTEL B?/AU
L3          8715 SEA COOPER C?/AU
L4          6940 SEA GU Y?/AU
L5           170 SEA HINMAN M?/AU
L6           107 SEA KALVIN D?/AU
L7           246 SEA KEYES R?/AU
L8            27 SEA SEARLE X?/AU
L9          9669 SEA WAGNER R?/AU
L10            1 SEA L1 AND L2 AND L3 AND L4 AND L5 AND L6 AND L7 AND L8 AND L9



L7           246 SEA KEYES R?/AU
L8            27 SEA SEARLE X?/AU
L9          9669 SEA WAGNER R?/AU
L19            2 SEA L7 AND (L8 OR L9)



L8            27 SEA SEARLE X?/AU
L9          9669 SEA WAGNER R?/AU
L20            1 SEA L8 AND L9



L1         24612 SEA ANDERSON D?/AU
L2           129 SEA BEUTEL B?/AU
L3          8715 SEA COOPER C?/AU
L4          6940 SEA GU Y?/AU
L5           170 SEA HINMAN M?/AU
L6           107 SEA KALVIN D?/AU
L7           246 SEA KEYES R?/AU
L8            27 SEA SEARLE X?/AU
L9          9669 SEA WAGNER R?/AU
L11       142507 SEA ?THIAZOL?
L13           17 SEA L1 AND (L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L14           41 SEA L2 AND (L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L15           12 SEA L3 AND (L4 OR L5 OR L6 OR L7 OR L8 OR L9)
```

inventor search

Searched by Barb O'Bryen, STIC 2-2518

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L16          10 SEA L4 AND (L5 OR L6 OR L7 OR L8 OR L9)
L17          11 SEA L5 AND (L6 OR L7 OR L8 OR L9)
L18           8 SEA L6 AND (L7 OR L8 OR L9)
L21           4 SEA (L13 OR L14 OR L15 OR L16 OR L17 OR L18) AND L11



L1        24612 SEA ANDERSON D?/AU
L2          129 SEA BEUTEL B?/AU
L3         8715 SEA COOPER C?/AU
L4         6940 SEA GU Y?/AU
L5          170 SEA HINMAN M?/AU
L6          107 SEA KALVIN D?/AU
L7          246 SEA KEYES R?/AU
L8           27 SEA SEARLE X?/AU
L9         9669 SEA WAGNER R?/AU
L11      142507 SEA ?THIAZOL?
L22     1316759 SEA ANTIBACTER? OR ANTIMICRO? OR ANTIBIOTIC? OR ANTI(W)(BACTER?
                 OR MICROB? OR BIOTIC?)
L37       12621 SEA ?PYRIDON?
L38          20 SEA (L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9) AND
                 L37
L40          12 SEA L38 AND (L22 OR L11)



L1        24612 SEA ANDERSON D?/AU
L2          129 SEA BEUTEL B?/AU
L3         8715 SEA COOPER C?/AU
L4         6940 SEA GU Y?/AU
L5          170 SEA HINMAN M?/AU
L6          107 SEA KALVIN D?/AU
L7          246 SEA KEYES R?/AU
L8           27 SEA SEARLE X?/AU
L9         9669 SEA WAGNER R?/AU
L11      142507 SEA ?THIAZOL?
L12          115 SEA (L1 OR L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9) AND
                 L11
L13           17 SEA L1 AND (L2 OR L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L14           41 SEA L2 AND (L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L15           12 SEA L3 AND (L4 OR L5 OR L6 OR L7 OR L8 OR L9)
L16           10 SEA L4 AND (L5 OR L6 OR L7 OR L8 OR L9)
L17           11 SEA L5 AND (L6 OR L7 OR L8 OR L9)
L18            8 SEA L6 AND (L7 OR L8 OR L9)
L26           17 SEA (L12 AND (L13 OR L14 OR L15 OR L16 OR L17 OR L18)) OR (L13
                 AND (L14 OR L15 OR L16 OR L17 OR L18)) OR (L14 AND (L15 OR L16
                 OR L17 OR L18)) OR (L15 AND (L16 OR L17 OR L18)) OR (L16 AND
                 (L17 OR L18)) OR (L17 AND L18)


=> s l10,l19,l20,l21,l40,l26
L44          30 (L10 OR L19 OR L20 OR L21 OR L40 OR L26)

=> fil capl; d que l36; d que l43; s l36 or l43
FILE 'CAPLUS' ENTERED AT 14:30:05 ON 29 JUN 2006
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FILE COVERS 1907 - 29 Jun 2006 VOL 145 ISS 1
FILE LAST UPDATED: 28 Jun 2006 (20060628/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html
'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

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L27         5325 SEA FILE=CAPLUS ABB=ON  ANDERSON D?/AU
L28           46 SEA FILE=CAPLUS ABB=ON  BEUTEL B?/AU
L29         1590 SEA FILE=CAPLUS ABB=ON  COOPER C?/AU
L30         4000 SEA FILE=CAPLUS ABB=ON  GU Y?/AU
L31           47 SEA FILE=CAPLUS ABB=ON  HINMAN M?/AU
L32           48 SEA FILE=CAPLUS ABB=ON  KALVIN D?/AU
L33          168 SEA FILE=CAPLUS ABB=ON  KEYES R?/AU
L34           14 SEA FILE=CAPLUS ABB=ON  SEARLE X?/AU
L35         3545 SEA FILE=CAPLUS ABB=ON  WAGNER R?/AU
L36            1 SEA FILE=CAPLUS ABB=ON  L27 AND L28 AND L29 AND L30 AND L31
                 AND L32 AND L33 AND L34 AND L35
```

```
L27         5325 SEA FILE=CAPLUS ABB=ON  ANDERSON D?/AU
L28           46 SEA FILE=CAPLUS ABB=ON  BEUTEL B?/AU
L29         1590 SEA FILE=CAPLUS ABB=ON  COOPER C?/AU
L30         4000 SEA FILE=CAPLUS ABB=ON  GU Y?/AU
L31           47 SEA FILE=CAPLUS ABB=ON  HINMAN M?/AU
L32           48 SEA FILE=CAPLUS ABB=ON  KALVIN D?/AU
L33          168 SEA FILE=CAPLUS ABB=ON  KEYES R?/AU
L34           14 SEA FILE=CAPLUS ABB=ON  SEARLE X?/AU
L35         3545 SEA FILE=CAPLUS ABB=ON  WAGNER R?/AU
L41         9746 SEA FILE=CAPLUS ABB=ON  ?PYRIDON?/BI
L43            4 SEA FILE=CAPLUS ABB=ON  (L27 OR L28 OR L29 OR L30 OR L31 OR
                 L32 OR L33 OR L34 OR L35) AND L41
```

```
L45            4 L36 OR L43
```

```
=> dup rem l45,l44
FILE 'CAPLUS' ENTERED AT 14:30:16 ON 29 JUN 2006
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FILE 'MEDLINE' ENTERED AT 14:30:16 ON 29 JUN 2006

FILE 'DRUGU' ENTERED AT 14:30:16 ON 29 JUN 2006
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FILE 'WPIX' ENTERED AT 14:30:16 ON 29 JUN 2006

FILE 'BIOSIS' ENTERED AT 14:30:16 ON 29 JUN 2006

FILE 'EMBASE' ENTERED AT 14:30:16 ON 29 JUN 2006

FILE 'SCISEARCH' ENTERED AT 14:30:16 ON 29 JUN 2006

PROCESSING COMPLETED FOR L45
PROCESSING COMPLETED FOR L44
L46 20 DUP REM L45 L44 (14 DUPLICATES REMOVED)
ANSWERS '1-4' FROM FILE CAPLUS
ANSWERS '5-8' FROM FILE MEDLINE
ANSWERS '9-13' FROM FILE WPIX
ANSWERS '14-18' FROM FILE BIOSIS
ANSWER '19' FROM FILE EMBASE
ANSWER '20' FROM FILE SCISEARCH

=> d ibib ed abs hitind 1-4; d iall 5-8; d iall abeq tech 9-13; d iall 14-20

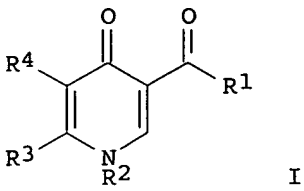
L46 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2005:641875 CAPLUS
DOCUMENT NUMBER: 143:153396
TITLE: Preparation of **pyrimidopyridonecarboxylates, thiazolopyridonecarboxylates**, and related compounds as antibacterials.
INVENTOR(S): **Anderson, David D.; Beutel, Bruce A. ; Cooper, Curt S.; Gu, Yu-gui; Hinman, Mira M.; Kalvin, Douglas M.; Keyes, Robert F.; Searle, Xenia B.; Wagner, Rolf**
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 28 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005159423	A1	20050721	US 2004-762002	20040121
WO 2005075477	A1	20050818	WO 2004-US40993	20041208

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-762002 A 20040121

OTHER SOURCE(S): MARPAT 143:153396
ED Entered STN: 22 Jul 2005
GI



I

AB Title compds. [I; R1 = OH, OR5, NH2, NHR5, N(R5)2; R2 = H, CMe3, allyloxy, 4-methoxyphenylmethyl, 2,4-dimethoxyphenylmethyl; R3R4 = atoms to form (substituted) thiazolo, pyrimido rings; R5 = alkyl], were prepared Thus, 4-(4-methoxybenzyl)-2-methylsulfonyl-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid (preparation given) and tert-Bu 3-pyrrolidinylmethylcarbamate (preparation given) were refluxed 18 h in EtOH to give protected coupling product, which was stirred in CF3CO2H at 110° for 18 h to give 2-[3-(aminomethyl)pyrrolidin-1-yl]-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid trifluoroacetate. Representative I showed min. inhibitory concns. of 32-64 μg/mL against Streptococcus pneumoniae ATCC 6303.

IC ICM A61K031-506
ICS A61K031-501; A61K031-497; C07D043-02; C07D413-02; C07D417-02; A61K031-4439

INCL 514252030; 514255050; 514256000; 514340000; 514341000; 514350000; 544238000; 544333000; 544405000; 546268400

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

ST **pyrimidopyridonecarboxylate thiazolopyridonecarboxylate** prepn antibacterial; **pyridonecarboxylate** fused prepn bacterial infection treatment

IT Infection
(bacterial, treatment; preparation of **pyrimidopyridonecarboxylates**, **thiazolopyridonecarboxylates** and related compds. as antibacterials)

IT Antibacterial agents
Fish
Human
(preparation of **pyrimidopyridonecarboxylates**, **thiazolopyridonecarboxylates** and related compds. as antibacterials)

IT 33836-52-1P 859731-18-3P 859731-19-4P 859731-20-7P 859731-21-8P
859731-22-9P 859731-23-0P 859731-24-1P 859731-25-2P 859731-26-3P
859731-27-4P 859731-28-5P 859731-29-6P 859731-30-9P 859731-31-0P
859731-32-1P 859731-33-2P 859731-34-3P 859731-35-4P 859731-36-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of **pyrimidopyridonecarboxylates**, **thiazolopyridonecarboxylates** and related compds. as antibacterials)

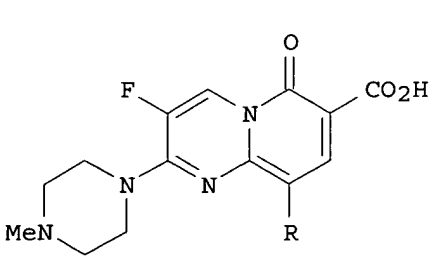
IT 859731-53-6P 859731-54-7P 859731-55-8P 859731-56-9P 859731-57-0P
859731-58-1P 859731-59-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of **pyrimidopyridonecarboxylates**, **thiazolopyridonecarboxylates** and related compds. as antibacterials)

IT 87-13-8, Diethyl ethoxymethylenemalonate 104-96-1 123-75-1, Pyrrolidine, reactions 452-77-7 452-80-2 462-08-8, 3-Aminopyridine 503-29-7, Azetidine 589-08-2 638-07-3, Ethyl 4-chloroacetoacetate 775-15-5, 1-Benzyl-3-pyrrolidinol 1005-39-6 1011-15-0, 1-(2-Fluorophenyl)piperazine 3490-06-0 4469-80-1, 4-Propoxyaniline 4637-24-5, Dmf dimethyl acetal 6933-10-4, 4-Bromo-3-methylaniline 13145-41-0 39512-50-0, 1-(2-Chlorophenyl)piperazine 49844-90-8 99724-19-3 102297-41-6 122536-77-0 132414-50-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **pyrimidopyridonecarboxylates**, **thiazolopyridonecarboxylates** and related compds. as antibacterials)

IT 2183-66-6P 10603-52-8P 33836-55-4P 34711-92-7P 36707-42-3P 36707-43-4P 36707-44-5P 36707-45-6P 37917-93-4P 56700-70-0P 65095-75-2P 142643-29-6P 149366-79-0P 155497-10-2P 172603-05-3P 859731-37-6P 859731-38-7P 859731-39-8P 859731-40-1P 859731-41-2P 859731-42-3P 859731-43-4P 859731-44-5P 859731-45-6P 859731-46-7P 859731-47-8P 859731-48-9P 859731-49-0P 859731-50-3P 859731-51-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **pyrimidopyridonecarboxylates**, **thiazolopyridonecarboxylates** and related compds. as antibacterials)

L46 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 5
ACCESSION NUMBER: 1996:422678 CAPLUS
DOCUMENT NUMBER: 125:221511
TITLE: Synthesis and Structure-Activity Relationships of 2-**Pyridones**: A Novel Series of Potent DNA Gyrase Inhibitors as Antibacterial Agents
AUTHOR(S): Li, Qun; Chu, Daniel T. W.; Claiborne, Akiyo; **Cooper, Curt S.**; Lee, Cheuk M.; Raye, Kathleen; Berst, Kristine B.; Donner, Pamela; Wang, Weibo; et al.
CORPORATE SOURCE: Abbott Laboratories, Abbott Park, IL, 60064-3500, USA
SOURCE: Journal of Medicinal Chemistry (1996), 39(16), 3070-3088
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 18 Jul 1996
GI



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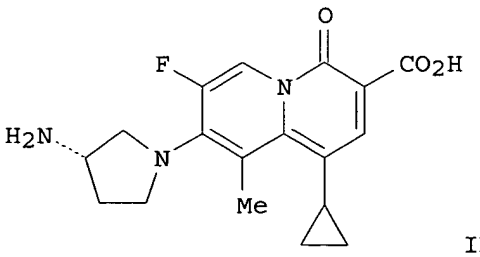


II

AB Two novel series of 2-**pyridones**, e.g. I (R = 2,4-F2C6H3), II, were synthesized by transposition of the nitrogen of 4-quinolones to the bridgehead position. This subtle interchange of the nitrogen atom with a carbon atom yielded two novel heterocyclic nuclei, pyrido[1,2-a]pyrimidine and quinolizine, which had not previously been evaluated as antibacterial agents and were found to be potent inhibitors of DNA gyrase. Quinolizines with a Me group at the 9-position such as II (ABT-719) demonstrate exceptional broad spectrum antibacterial activity. Most notably, they are active against resistant bacteria such as methicillin-resistant Staphylococcus aureus, vancomycin-resistant strains of enterococci, and ciprofloxacin-resistant organisms. In addition, 2-**pyridones** also possess favorable physiochem. and pharmacokinetic properties. These 2-**pyridones** were synthesized from the com. available starting materials by 10-17 linear transformations. The structure of an adduct yielded by this sequence, ABT-719, was determined by X-ray crystallog. anal.

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 10, 28

ST **pyridone** prepn DNA gyrase inhibitor; pyridopyrimidine deriv prepn bactericide; quinolizine deriv prepn bactericide; bactericide **pyridone** deriv prepn

IT Bactericides, Disinfectants, and Antiseptics
(preparation and bactericidal activity of 2-**pyridones**)

IT Enzymes
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(DNA-supercoiling, preparation and DNA gyrase inhibitory activity (bactericidal activity) of 2-**pyridones**)

IT Molecular structure-biological activity relationship
(bactericidal, preparation and bactericidal activity of 2-**pyridones**)

IT 139160-92-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bactericidal activity of 2-**pyridones**)

IT 139160-76-2P 139160-81-9P 139160-87-5P 139160-89-7P 139160-93-3P
139160-94-4P 139160-95-5P 139160-96-6P 139160-97-7P 139161-00-5P
139161-01-6P 162763-53-3P 162829-88-1P 162829-89-2P 169748-47-4P
169748-49-6P 169748-62-3P 169748-70-3P 169748-75-8P 169748-81-6P
169748-82-7P 169748-83-8P 169749-02-4P 169749-04-6P 169749-05-7P
169749-06-8P 169749-12-6P 169749-17-1P 169749-25-1P 169749-48-8P
169749-51-3P 169749-52-4P 180975-81-9P 180975-82-0P 180975-83-1P
180975-88-6P 180975-89-7P 180975-90-0P 180975-91-1P 180975-92-2P
180975-93-3P 180975-94-4P 180975-95-5P 180975-96-6P 180975-97-7P
180975-98-8P 180975-99-9P 180976-00-5P 180976-02-7P 180976-03-8P
180976-04-9P 180976-06-1P 180976-07-2P 181141-49-1P 181141-50-4P
181141-51-5P 181141-52-6P 181141-53-7P 181141-54-8P 181141-55-9P
181141-56-0P 181141-57-1P 181187-00-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of 2-**pyridones**)

IT 180975-86-4P
RL: BYP (Byproduct); PREP (Preparation)
(preparation and bactericidal activity of 2-**pyridones**)

IT 181141-58-2P 181141-59-3P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bactericidal activity of 2-**pyridones**)

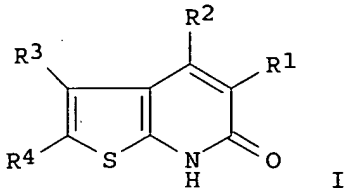
IT 87-13-8, Diethyl (ethoxymethylene)malonate 104-47-2 105-53-3, Diethyl malonate 105-58-8, Diethyl carbonate 109-01-3, 1-Methylpiperazine 110-91-8, Morpholine, reactions 288-32-4, Imidazole, reactions 459-22-3, (4-Fluorophenyl)acetonitrile 653-30-5 656-35-9 700-16-3 1735-84-8 3678-63-5, 4-Chloro-2-picoline 6542-60-5, Cyclopropaneacetonitrile 10118-17-9 15014-25-2, Dibenzyl malonate 16012-70-7 25808-30-4 40499-83-0, 3-Hydroxypyrrolidine 57260-71-6 57260-73-8 91188-13-5 99724-19-3 107610-69-5 112275-50-0 113451-59-5 114677-00-8 122536-76-9 122536-77-0 128739-92-4 132883-44-4, 3-Pyrrolidinamine, N,N-dimethyl-S- 134575-17-0 139161-75-4 143444-82-0 143444-83-1 143444-84-2 147459-52-7 149366-79-0 150281-45-1 169750-01-0 169750-38-3 180975-51-3 180975-57-9 180975-59-1 180975-66-0 180975-70-6 180975-72-8 181141-39-9 181141-40-2 181141-43-5 181141-44-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and bactericidal activity of 2-**pyridones**)

IT 42392-67-6P 93856-98-5P, Pyridine, 4-chloro-2-propyl 121492-06-6P 139160-91-1P 139161-45-8P 139161-46-9P 139161-47-0P 139161-48-1P 139161-50-5P 139161-55-0P 139161-56-1P 139161-62-9P 139161-63-0P 139161-67-4P 139161-69-6P 139161-70-9P 139179-03-6P 169749-69-3P 169749-71-7P 169749-72-8P 169749-81-9P 169749-82-0P 169749-83-1P 169749-84-2P 169749-85-3P 169749-87-5P 169749-89-7P 169749-95-5P 169749-97-7P 169749-98-8P 169750-35-0P 169750-37-2P 169750-52-1P 180975-76-2P 180975-84-2P 180975-85-3P 180976-08-3P 180976-09-4P 180976-10-7P 180976-11-8P 180976-12-9P 180976-13-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bactericidal activity of 2-**pyridones**)

L46 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:140804 CAPLUS
DOCUMENT NUMBER: 142:240419
TITLE: Preparation of substituted thieno[2,3-b] **pyridones** as activators for AMP-activated kinase for the treatment of diabetes and obesity
INVENTOR(S): Iyengar, Rajesh R.; Judd, Andrew S.; Zhao, Gang; Kym, Philip R.; Sham, Hing L.; **Gu, Yugui**; Liu, Gang; Liu, Mei; Zhao, Hongyu; Clark, Richard F.; Frevert, Ernst U.; Cool, Barbara L.; Zhang, Tianyuan; **Keyes, Robert F.**; Hansen, Todd M.; Xin, Zhili
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 86 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005038068	A1	20050217	US 2004-847144		20040517
PRIORITY APPLN. INFO.:			US 2003-471064P	P	20030516

OTHER SOURCE(S): MARPAT 142:240419
ED Entered STN: 18 Feb 2005
GI



I

AB Title compds. I [R1 = H, alkoxy, alkoxycarbonyl, etc.; R2 = alkoxy, OH, thioalkoxy, etc.; R3 = alkoxycarbonyl, aryl, etc.; R4 = H, alk(en/yn)yl, aryl, etc.] are prepared For instance, 3-(3,5-dimethylphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile is prepared in several steps from 3,5-dimethylacetophenone, Et cyanoacetate and cyanoacetic acid. Representative compds. of the invention activate AMPK at a dose of 1-100 μM. I are useful for the treatment of disorders such as diabetes, metabolic syndrome and obesity.

IC ICM C07D498-02
ICS A61K031-4743

INCL 514301000; 546114000

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

ST **thienopyridone** ampk activator treatment diabetes obesity prepn

IT Metabolic disorders
(metabolic syndrome X; preparation of substituted thieno[2,3-b] **pyridones** as activators for AMP-activated kinase for treatment of diabetes and obesity)

IT Antidiabetic agents
Antiobesity agents
Diabetes insipidus
Diabetes mellitus
Human
Obesity
(preparation of substituted thieno[2,3-b]**pyridones** as activators for AMP-activated kinase for treatment of diabetes and obesity)

IT 172522-01-9, AMP-activated kinase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of substituted thieno[2,3-b]**pyridones** as activators for AMP-activated kinase for treatment of diabetes and obesity)

IT 844499-51-0P, 3-(4-Fluorophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-52-1P, 3-(4-Chlorophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-53-2P, 4-Hydroxy-6-oxo-3-[4-(trifluoromethyl)phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-56-5P, 3-(4-Bromophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-58-7P, 3-(4'-Fluoro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-65-6P, 2,5-Dichloro-3-(4-chlorophenyl)-4-hydroxythieno[2,3-b]pyridin-6(7H)-one 844499-66-7P, 4-Hydroxy-3-(4-nitrophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-67-8P, 2-Bromo-4-hydroxy-3-(4-nitrophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-68-9P, 3-(1,1'-Biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-69-0P, 4-Hydroxy-3-(2'-methyl-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-70-3P, 4-Hydroxy-3-(3'-methyl-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-71-4P, 4-Hydroxy-3-(2'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-72-5P, 4-Hydroxy-3-(3'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-

dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-73-6P, 4-Hydroxy-3-(2'-methoxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-74-7P, 4-Hydroxy-3-(3'-methoxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-75-8P, 4-Hydroxy-3-(4'-methoxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-76-9P, 3-(2'-Fluoro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-77-0P, 3-(3'-Fluoro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-78-1P, 3-(2'-Chloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-79-2P, 3-(3'-Chloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-80-5P, 3-(4'-Chloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-81-6P, 3-(4'-Cyano-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-82-7P, 3-(3'-Acetyl-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-83-8P, 3-[4'-(Dimethylamino)-1,1'-biphenyl-4-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-84-9P, 4-Hydroxy-6-oxo-3-(4'-phenoxy-1,1'-biphenyl-4-yl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-86-1P, 3-(4'-Acetyl-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-94-1P, 3-(4-Aminophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-02-3P, 2-Chloro-4-hydroxy-3-(4-bromophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-03-4P, 2-Bromo-3-(5'-bromo-2'-hydroxy-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-05-6P, 3-(2'-Formyl-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-06-7P, 4-Hydroxy-3-[4-(methoxymethoxy)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-11-4P, 4-Hydroxy-3-(4-hydroxyphenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-19-2P, 3-(3-Bromophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-29-4P, tert-Butyl [4-[5-(5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]phenyl]carbamate 844500-43-2P, 3-(5-Bromothien-2-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-46-5P, 3-[4-(Allyloxy)phenyl]-2-chloro-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-54-5P, 2-Chloro-4-hydroxy-3-[4-[(1-hydroxycyclopent-3-en-1-yl)methoxy]phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-72-7P, 4-Hydroxy-3-(5-iodo-4-methylthien-2-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-82-9P, Methyl 4-(2-chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)benzoate 844500-94-3P, 4-Hydroxy-3-[4-(4-hydroxybut-1-ynyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-05-9P, 7-[4-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenyl]heptan-6-ynoic acid 844501-13-9P, 2-Chloro-4-hydroxy-6-oxo-3-(2-phenylcyclopropyl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-52-6P, 3-[4-(Allyloxy)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-62-8P, 3-[4-Bromo-5-(3-methoxyprop-1-ynyl)thiophen-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-74-2P, 4-Hydroxy-3-[4-(5-hydroxypent-1-ynyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-79-0P, Ethyl 4-hydroxy-3-(2'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carboxylate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted thieno[2,3-b]**pyridones** as activators for AMP-activated kinase for treatment of diabetes and obesity)

IT 844499-48-5P, 3-(3,5-Dimethylphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-54-3P, 2-Bromo-3-(4-chlorophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-55-4P, 2-Bromo-4-hydroxy-6-oxo-3-[4-(trifluoromethyl)phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-57-6P, 2-Bromo-3-(4-fluorophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-59-8P, 4-Hydroxy-6-oxo-3-[4'-(trifluoromethyl)-1,1'-biphenyl-4-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-60-1P, 3-[4-(1,3-Benzodioxol-5-yl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-61-2P, 2,5-Dibromo-3-(4-chlorophenyl)-4-hydroxythieno[2,3-b]pyridin-6(7H)-one 844499-88-3P, 3-(2',3'-Dimethyl-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-89-4P, 4-Hydroxy-6-oxo-3-[4'-(trifluoromethoxy)-1,1'-biphenyl-4-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-90-7P, 3-(3',4'-Dimethyl-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-91-8P, 3-(2',3'-Dichloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-92-9P, 3-(2',4'-Dichloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-93-0P, 2-Bromo-3-(4'-fluoro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-95-2P, 2-Chloro-4-hydroxy-6-oxo-3-[4-(trifluoromethyl)phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-96-3P, 4-Hydroxy-3-(4'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-97-4P, 3-(4'-Acetyl-1,1'-biphenyl-4-yl)-2-bromo-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-98-5P, 2-Bromo-4-hydroxy-3-(2'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844499-99-6P, 2-Bromo-3-(4'-cyano-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-00-1P, 2-Chloro-4-hydroxy-3-(2'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-04-5P, 4-Hydroxy-3-[2'-(hydroxymethyl)-1,1'-biphenyl-4-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-07-8P, 4-Hydroxy-6-oxo-3-[2'-[[[2-[4-(trifluoromethyl)phenyl]ethyl]amino]methyl]-1,1'-biphenyl-4-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-08-9P, 4-Hydroxy-3-[2'-[[[2-(4-hydroxy-3,5-dimethoxyphenyl)ethyl]amino]methyl]-1,1'-biphenyl-4-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-09-0P, 3-[4-(2-Formylthien-3-yl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-10-3P, 3-(2'-Amino-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-12-5P, 2-Bromo-3-(3-bromo-4-hydroxyphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-13-6P, 2-Chloro-3-(2'-chloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-14-7P, N-[4'-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)-1,1'-biphenyl-3-yl]acetamide 844500-15-8P, 2-Chloro-3-(4'-chloro-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-16-9P, [[4'-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)-1,1'-biphenyl-2-yl]oxy]acetic acid 844500-17-0P, 2-Bromo-4-hydroxy-3-(4-hydroxyphenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-18-1P, 2-Bromo-3-(3,5-dibromo-4-hydroxyphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-20-5P, 2-Chloro-4-hydroxy-3-(2'-methyl-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-21-6P, 2-Chloro-4-hydroxy-3-(3'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-

dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-22-7P, 3-[4-[Bis(3,3-dimethylbutyl)amino]phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-23-8P, 2,5-Dichloro-3-(3,5-dichloro-4-hydroxyphenyl)-4-hydroxythieno[2,3-b]pyridin-6(7H)-one 844500-27-2P, 3-[4-(2,6-Dihydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-28-3P, 3-[5-(4-Aminophenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-30-7P, N-[4-[5-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thieno-2-yl]phenyl]methanesulfonamide 844500-31-8P, 3-[4-(2,3-Dihydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-34-1P, 2,5-Dibromo-3-(3,5-dibromo-4-hydroxyphenyl)-4-hydroxythieno[2,3-b]pyridin-6(7H)-one 844500-39-6P, 3-[4-(2,4-Dihydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-40-9P, N-[4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]phenyl]methanesulfonamide 844500-44-3P, 4-Hydroxy-3-[5-(4-hydroxyphenyl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-45-4P, 2-Chloro-3-[4-(2,3-dihydroxypropoxy)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-47-6P, 4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]benzenesulfonamide 844500-48-7P, 4-Hydroxy-6-oxo-3-[5-(pyridin-4-yl)thien-2-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-49-8P, 2-Chloro-4-hydroxy-3-[5-(4-hydroxyphenyl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-53-4P, 4-Hydroxy-3-[5-[4-(hydroxymethyl)phenyl]thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-61-4P, 2-Chloro-4-hydroxy-3-(4-hydroxyphenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-62-5P, 4-Hydroxy-3-[5-[4-(methylsulfonyl)phenyl]thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-63-6P, N-[4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]phenyl]acetamide 844500-64-7P, 4-Hydroxy-6-oxo-3-(5-phenylthien-2-yl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-65-8P, 3-(2,2'-Bithien-5-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-66-9P, 3-[4-(3-Fluoro-2-hydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-67-0P, 3-[5-(2-Aminophenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-68-1P, 3-[5-(4-Fluorophenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-69-2P, 3-[5-(2,4-Difluorophenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-70-5P, 4-Hydroxy-6-oxo-3-(4-(thien-3-yl)phenyl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-71-6P, 4-Hydroxy-3-[5-(3-methoxyprop-1-ynyl)-4-methylthien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-73-8P, 2,5-Dichloro-4-hydroxy-3-(4-hydroxyphenyl)thieno[2,3-b]pyridin-6(7H)-one 844500-74-9P, Methyl [4-[5-(5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]phenyl]carbamate 844500-76-1P, 2-Chloro-4-hydroxy-3-[4-(2-hydroxy-2-methylpropoxy)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-80-7P, 2-Chloro-4-hydroxy-3-[4-[(1-hydroxycyclopentyl)methoxy]phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-81-8P, 2-Chloro-4-hydroxy-3-[4-(hydroxymethyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-83-0P, 4-Hydroxy-3-[5-(4-methoxyphenyl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-84-1P, 4-Hydroxy-2-methyl-6-oxo-3-(phenylethynyl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-87-4P, 2-Chloro-3-[4-[(1-ethyl-4-hydroxypiperidin-4-yl)methoxy]phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-88-5P, 2-Chloro-4-hydroxy-3-[4-[(4-hydroxypiperidin-4-yl)methoxy]phenyl]-6-oxo-

6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-90-9P, 4-Hydroxy-3-[5-(3-methoxyprop-1-ynyl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-91-0P, 4-Hydroxy-3-[5-(5-hydroxypent-1-ynyl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-92-1P, 3-[4-[(1-Acetyl-4-hydroxypiperidin-4-yl)methoxy]phenyl]-2-chloro-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-93-2P, 2-Bromo-4-hydroxy-3-[4-(4-hydroxybut-1-ynyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-95-4P, 4-Hydroxy-3-[5-(3-hydroxyprop-1-ynyl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-96-5P, 2-Chloro-4-hydroxy-3-[4-[(4-hydroxy-1-isobutylpiperidin-4-yl)methoxy]phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-97-6P, 4-Hydroxy-3-[4-(4-hydroxybut-1-enyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-98-7P, 4-Hydroxy-6-oxo-3-[5-(1,2,3,6-tetrahydropyridin-4-yl)thien-2-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-04-8P 844501-06-0P, 4-Hydroxy-6-oxo-3-[5-(2-oxo-2,3-dihydro-1H-indol-5-yl)thien-2-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-07-1P, 3-[5-(4-Cyanophenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-08-2P, 2-Chloro-3-[4-[(1-cyclopropyl-4-hydroxypiperidin-4-yl)methoxy]phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-09-3P, 3-[4-(4-Fluoro-2-hydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-10-6P, 4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]benzoic acid 844501-11-7P, 3-[5-(3-Aminophenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-12-8P, 4-Hydroxy-3-(2'-hydroxy-1,1'-biphenyl-4-yl)thieno[2,3-b]pyridin-6(7H)-one 844501-18-4P, 3-[5-(4-Acetylphenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-19-5P, 4-Hydroxy-6-oxo-3-(4-vinylphenyl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-20-8P, 3-[5-(2,4-Dihydroxyphenyl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-22-0P, 3-[3-(Allyloxy)phenyl]-2-bromo-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-24-2P, 3-[5-[3-(Dimethylamino)prop-1-ynyl]thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-25-3P 844501-26-4P, 4-Hydroxy-6-oxo-3-[5-(pyridin-2-yl)thien-2-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-28-6P, 4-Hydroxy-3-(2'-hydroxy-1,1'-biphenyl-4-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carboxaldehyde oxime 844501-30-0P, 3-[3-(Allyloxy)phenyl]-2-chloro-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-31-1P, 3-[4-(5-Bromo-2,4-dihydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-32-2P, 3-[4-(4,6-Dimethyl-2-hydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-33-3P, N-[4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]-2-fluorophenyl]acetamide 844501-36-6P 844501-41-3P, 2-Chloro-4-hydroxy-3-(5-methyl-2-furyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-42-4P, 4-Hydroxy-3-(3-hydroxyphenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-44-6P, 2-Chloro-3-[4-[(1-cyclopentyl-4-hydroxypiperidin-4-yl)methoxy]phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-46-8P, 3-[4-(2,5-Dihydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-48-0P, 3-[4-(2,3-Dihydroxypropoxy)-4-hydroxy]-2-methyl-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-50-4P, 3-[4-(Allyloxy)phenyl]-2-bromo-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-54-8P, 3-[5-(1-Acetyl-1,2,3,6-

tetrahydropyridin-4-yl)thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-56-0P, 3-[5-[4-(Allyloxy)phenyl]thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-60-6P 844501-61-7P, 4-Hydroxy-3-[5-(3-methoxyprop-1-ynyl)-4-vinylthien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-64-0P, 3-[4-(6-Chloro-2-hydroxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-65-1P, 2-Chloro-3-[4-[(1-cyclobutyl-4-hydroxypiperidin-4-yl)methoxy]phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-66-2P, 3-(3,5-Dichloro-4-hydroxyphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-69-5P 844501-70-8P, 4-Hydroxy-6-oxo-3-[4-(1H-pyrazol-3-yl)phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-72-0P, 3-[5-[4-(2,3-Dihydroxypropoxy)phenyl]thien-2-yl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-73-1P, 2-Bromo-4-hydroxy-3-[4-(5-hydroxypent-1-ynyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-75-3P, 4-Hydroxy-6-oxo-3-(5,6,7,8-tetrahydronaphthalen-2-yl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-76-4P 844501-77-5P, N-[3-Chloro-4-[5-(5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]phenyl]acetamide 844501-79-7P, 2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenoxy]-N-(3-hydroxypropyl)acetamide 844501-82-2P, 2-Chloro-3-[4-(cyanomethoxy)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-87-7P, 4-Hydroxy-3-[4-(3-methoxyprop-1-ynyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-88-8P, 4-Hydroxy-6-oxo-3-[4-[4-(pyrrolidin-1-yl)but-1-enyl]phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-89-9P, 4-Hydroxy-3-[5-(4-hydroxyphenyl)-4-methylthien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-90-2P, 4-Hydroxy-3-(4-methylthien-2-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-91-3P, 2-Chloro-3-[4-(diallylamino)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-96-8P, 4-Hydroxy-3-[5-(1H-indol-5-yl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-97-9P, 3-[4-(5-Cyanopent-1-ynyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-98-0P, 2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenoxy]acetamide 844502-00-7P 844502-04-1P, 3-(2'-Amino-1,1'-biphenyl-4-yl)-2-chloro-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-05-2P, 4-Hydroxy-6-oxo-3-(1,2,3,4-tetrahydronaphthalen-2-yl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-06-3P, 4-Hydroxy-3-[5-(4-nitrophenyl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-07-4P, 6-[4-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenyl]hexan-5-ynoic acid 844502-08-5P, 3-[4-(4-Cyanobut-1-ynyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-09-6P 844502-10-9P, 3-(2,3-Dihydro-1,4-benzodioxin-6-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-11-0P, N-[4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)-2-phenylthien-3-yl]phenyl]methanesulfonamide 844502-12-1P, 4-Hydroxy-6-oxo-3-(5-vinylthien-2-yl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-13-2P, 4-Hydroxy-6-oxo-3-[5-(pyrazin-2-yl)thien-2-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-14-3P 844502-15-4P 844502-16-5P, 3-(2,3-Dihydro-1H-inden-5-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-17-6P, 4-Hydroxy-3-[5-(4-hydroxybut-1-ynyl)thien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-18-7P 844502-19-8P, 2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenoxy]-N-methylacetamide 844502-20-1P, N-[4-[5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)-3-methylthien-2-

yl]phenyl]methanesulfonamide 844502-21-2P, 4-Hydroxy-3-[4-(3-hydroxy-3-methylbut-1-ynyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-22-3P 844502-23-4P 844502-28-9P, 5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)-N-[2-(dimethylamino)ethyl]thiophene-2-carboxamide 844502-34-7P, 5-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-2-yl)-N-[2-(dimethylamino)ethyl]thiophene-2-carboxamide trifluoroacetate 844502-35-8P, 4-Hydroxy-3-[4-[3-(methylamino)prop-1-ynyl]phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-36-9P 844502-37-0P 844502-38-1P, 4-Hydroxy-6-oxo-3-[5-(pyridin-3-yl)thien-2-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-39-2P, 2-Bromo-4-hydroxy-6-oxo-3-phenyl-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-40-5P, 7-(5-Cyano-4-hydroxy-6-oxo-3-phenyl-6,7-dihydrothieno[2,3-b]pyridin-2-yl)heptan-6-ynoic acid 844502-41-6P, 3-[4-(Allyloxy)phenyl]-4-hydroxy-2-methyl-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-44-9P, 2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenoxy]-N-[3-(2-oxopyrrolidin-1-yl)propyl]acetamide 844502-45-0P, 4-Hydroxy-3-[4-(4-hydroxyphenyl)-5-phenylthien-2-yl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-46-1P

, 3-(3,5-Dibromo-4-hydroxyphenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-51-8P 844502-52-9P, 4-Hydroxy-6-oxo-3-[4-[3-(tetrahydrofuran-3-yloxy)prop-1-ynyl]phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-53-0P, 2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenoxy]-N-[3-(1H-imidazol-1-yl)propyl]acetamide 844502-54-1P, 3-(1,3-Benzodioxol-5-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-55-2P 844502-56-3P 844502-62-1P, 4-Hydroxy-6-oxo-3-((E)-2-phenylethenyl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-70-1P, 4-Hydroxy-6-oxo-3-(phenylethynyl)-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-75-6P, N-[3-[4-(5-Cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenyl]prop-2-ynyl]methanesulfonamide 844502-76-7P, 4-Hydroxy-3-[4-(4-hydroxybutyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-77-8P, 3-[4-(4-Azidobut-1-ynyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-78-9P, 4-Hydroxy-3-[4-(5-hydroxypentyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-82-5P, 3-(2'-Fluoro-6'-hydroxy-1,1'-biphenyl-4-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-83-6P, 4-Hydroxy-3-[4-((1E)-4-hydroxybut-1-enyl)phenyl]-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-84-7P, 4-Hydroxy-6-oxo-3-[5-phenyl-4-(pyridin-3-yl)thien-2-yl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-85-8P, 3-[4-(2,3-Dihydroxypropoxy)phenyl]-4-hydroxy-2-methyl-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-86-9P, 4-Hydroxy-6-oxo-3-[4-[(1E)-4-(pyrrolidin-1-yl)but-1-enyl]phenyl]-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-87-0P, 4-Hydroxy-3-(3-methyl-1-benzothiophen-2-yl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted thieno[2,3-b]**pyridones** as activators for AMP-activated kinase for treatment of diabetes and obesity)

IT 70-70-2, 1-(4-Hydroxyphenyl)propan-1-one 78-84-2, Isobutyraldehyde 98-80-6, Phenylboronic acid 99-90-1 99-91-2 99-93-4, 4'-Hydroxyacetophenone 100-19-6 100-39-0, Benzyl bromide 105-36-2, Ethyl bromoacetate 105-53-3, Diethyl malonate 105-56-6, Ethyl cyanoacetate 106-95-6, Allyl bromide, reactions 107-19-7,

2-Propyn-1-ol 107-30-2, Chloromethyl methyl ether 108-00-9, N,N-Dimethylethylenediamine 109-55-7, N,N-Dimethylpropane-1,3-diamine 115-19-5, 2-Methylbut-3-yn-2-ol 120-92-3, Cyclopentanone 121-71-1 122-57-6, 4-Phenylbut-3-en-2-one 123-38-6, Propionaldehyde, reactions 123-75-1, Pyrrolidine, reactions 156-87-6, 3-Aminopropan-1-ol 351-30-4, N-(4-Bromo-3-fluorophenyl)acetamide 372-09-8, Cyanoacetic acid 403-42-9 536-74-3, Phenylacetylene 590-17-0, Bromoacetonitrile 591-50-4, Iodobenzene 600-22-6, Methyl pyruvate 623-71-2 627-41-8, Methyl propargyl ether 709-63-7, 4-(Trifluoromethyl)acetophenone 768-35-4, 3-Fluorophenylboronic acid 774-55-0, 1-(5,6,7,8-Tetrahydronaphthalen-2-yl)ethanone 775-00-8, 2-(4-Trifluoromethylphenyl)ethylamine 927-74-2, 3-Butyn-1-ol 934-98-5, 2-(4-Methylpiperazin-1-yl)ethylamine 1001-53-2, N-(2-Aminoethyl)acetamide 1191-95-3, Cyclobutanone 1193-79-9, 1-(5-Methylfuran-2-yl)ethanone 1679-18-1, 4-Chlorophenylboronic acid 1765-93-1, 4-Fluorophenylboronic acid 1993-03-9, 2-Fluorophenylboronic acid 2142-63-4, 3-Bromoacetophenone 2413-00-5, 4-(2-Aminoethyl)-2,6-dimethoxyphenol 2622-05-1, Allylmagnesium chloride 2706-56-1, 2-(Pyridin-2-yl)ethylamine 2879-20-1, 1-(2,3-Dihydrobenzo[1,4]dioxin-6-yl)ethanone 2987-16-8, 3,3-Dimethylbutanal 3162-29-6, 1-Benzo[1,3]dioxol-5-ylethanone 3332-29-4, O-Ethylhydroxylamine hydrochloride 3609-53-8, Methyl 4-acetylbenzoate 3731-51-9, ((Pyridin-2-yl)methyl)amine 3731-52-0, [(Pyridin-3-yl)methyl]amine 3900-89-8, 2-Chlorophenylboronic acid 4066-41-5, 5-Acetylthiophene-2-carboxylic acid 4228-10-8, 1-(Indan-5-yl)ethanone 4347-31-3, 2-Formylthiophene-3-boronic acid 5036-48-6, 3-(Imidazol-1-yl)propylamine 5162-44-7, 4-Bromobut-1-ene 5370-25-2, 1-(5-Bromothiophen-2-yl)ethanone 5379-16-8, 3,5-Dimethylacetophenone 5390-04-5, 4-Pentyn-1-ol 5570-18-3, 2-Aminophenylboronic acid 5720-06-9, 2-Methoxyphenylboronic acid 5720-07-0, 4-Methoxyphenylboronic acid 6001-87-2 6165-69-1, 3-Thiopheneboronic acid 6626-15-9, 4-Bromobenzene-1,3-diol 6638-79-5, N,O-Dimethylhydroxylamine hydrochloride 7209-11-2, 1-(4-Bromothiophen-2-yl)ethanone 7223-38-3, N,N-Dimethylpropargylamine 7486-35-3, Vinyltributyltin 7663-77-6, 1-(3-Aminopropyl)pyrrolidin-2-one 10365-98-7, 3-Methoxyphenylboronic acid 13329-40-3, 4-Iodoacetophenone 13679-73-7, 1-(4-Methylthiophene-2-yl)ethanone 14064-10-9, Ethyl chloromalonate 14918-21-9, 5-Hexynenitrile 16130-58-8, Cyanoacetic acid chloride 16419-60-6, 2-Methylphenylboronic acid 17933-03-8, 3-Methylphenylboronic acid 17997-47-6, 2-(Tributylstannyl)pyridine 19596-07-7, 4-Pentynenitrile 20870-78-4, 5-Bromo-1,3-dihydroindol-2-one 22459-81-0, N-(4-Bromo-3-chlorophenyl)acetamide 23112-96-1, 2,6-Dimethoxyphenylboronic acid 24067-17-2, 4-Nitrophenylboronic acid 27374-25-0, [(1-Ethoxycyclopropyl)oxy]trimethylsilane 28611-39-4, 4-(Dimethylamino)phenylboronic acid 30418-59-8, 3-Aminophenylboronic acid 35161-71-8, Methylprop-2-ynylamine 40972-86-9 45588-79-2, ((Pyrimidin-4-yl)methyl)amine 51067-38-0, 4-Phenoxyphenylboronic acid 51387-90-7, 2-(1-Methylpyrrolidin-2-yl)ethylamine 53440-12-3, 1,2,3,4-Tetrahydronaphthalene-2-carboxylic acid 53448-09-2, (2R)-2-Amino-4-methylpentan-1-ol 55499-43-9, 3,4-Dimethylphenylboronic acid 59016-93-2, 4-Hydroxymethylphenylboronic acid 59020-10-9, 3-(Tributylstannyl)pyridine 61278-21-5, (2S)-3-Aminopropane-1,2-diol 63503-60-6, 3-Chlorophenylboronic acid 65234-09-5, 2-Amino-4-(4-chlorophenyl)thiophene-3-carboxylic acid ethyl ester 68716-47-2, 2,4-Dichlorophenylboronic acid 68832-13-3, D-Prolinol 71597-85-8, 4-Hydroxyphenylboronic acid 73183-34-3 78495-63-3, 6-Fluoro-2-methoxyphenylboronic acid 78887-39-5, 3-Acetamidophenylboronic acid 79099-07-3, 4-Oxopiperidine-1-carboxylic acid tert-butyl ester 89466-08-0, 2-Hydroxyphenylboronic acid 90965-06-3 93501-84-9, N-(Prop-2-ynyl)methanesulfonamide 94839-07-3, 3,4-(Methylenedioxy)phenylboronic acid 99768-12-4, 4-

(Methoxycarbonyl)benzeneboronic acid 105922-68-7, 3-[(Prop-2-ynyl)oxy]tetrahydrofuran 107099-99-0, 2,5-Dimethoxyphenylboronic acid 124252-41-1, 4-(Tributylstannyl)pyridine 126747-14-6, 4-Cyanophenylboronic acid 128796-39-4, 4-(Trifluoromethyl)phenylboronic acid 133726-09-7, 4-Hydroxy-6-oxo-3-phenyl-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 133730-34-4, 2,4-Dimethoxyphenylboronic acid 144025-03-6, 2,4-Difluorophenylboronic acid 144104-59-6, (1H-Indole-5-yl)boronic acid 149104-88-1, 4-(Methanesulfonyl)benzeneboronic acid 149104-90-5, 4-Acetylphenylboronic acid 151169-74-3, 2,3-Dichlorophenylboronic acid 179899-07-1, 4-Fluoro-2-methoxyphenylboronic acid 183158-34-1, 2,3-Dimethylphenylboronic acid 193978-23-3, 4,4,5,5-Tetramethyl-2-thiophen-2-yl-[1,3,2]dioxaborolane 204841-19-0, 3-Acetylphenylboronic acid 205371-27-3, 2-(Tributylstannyl)pyrazine 214360-51-7, 4-(4,4,5,5-Tetramethyl-[1,3,2]dioxaborolan-2-yl)benzenesulfonamide 214360-60-8, N-[4-(4,4,5,5-Tetramethyl-[1,3,2]dioxaborolan-2-yl)phenyl]acetamide 214360-76-6, 3-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenol 269409-70-3, 4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenol 269409-97-4, 2-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)phenol 330793-01-6, [4-(4,4,5,5-Tetramethyl-[1,3,2]dioxaborolan-2-yl)phenyl]carbamic acid tert-butyl ester 355836-08-7, 4,6-Dimethyl-2-methoxybenzeneboronic acid 380430-49-9, 4-[(tert-Butoxycarbonyl)amino]benzeneboronic acid 380430-57-9, 4-[(Methanesulfonyl)amino]phenylboronic acid 385370-80-9, 6-Chloro-2-methoxyphenylboronic acid 762287-59-2, 3-Fluoro-2-methoxyphenylboronic acid 844499-64-5 844500-33-0, 4-Hydroxy-3-(4-iodophenyl)-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-75-0, [4-(4,4,5,5-Tetramethyl-[1,3,2]dioxaborolan-2-yl)phenyl]carbamic acid methyl ester 844500-89-6 844501-00-4 844501-38-8, 1-[4-[[(tert-Butyldiphenylsilanyl)oxy]methyl]phenyl]ethanone 844501-71-9, 3-(4,4,5,5-Tetramethyl-[1,3,2]dioxaborolan-2-yl)-1H-pyrazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted thieno[2,3-b]**pyridones** as activators for AMP-activated kinase for treatment of diabetes and obesity)

IT 2079-53-0P, 1-(4-Allyloxyphenyl)ethanone 2089-23-8P, 1-(4-Allyloxyphenyl)propan-1-one 2887-72-1P 4815-32-1P, 2-Amino-5-methylthiophene-3-carboxylic acid ethyl ester 6739-22-6P, 1-(2-Phenylcyclopropyl)ethanone 7255-63-2P, 1-But-3-enylpyrrolidine 10537-63-0P, 1-(4-Vinylphenyl)ethanone 17044-70-1P, 3',5'-Dichloro-4'-hydroxyacetophenone 51828-69-4P, [4-(Acetyl)phenoxy]acetic acid ethyl ester 54696-05-8P, 4-Benzyloxyacetophenone 58621-54-8P, 1-(3-Allyloxyphenyl)ethanone 70013-38-6P, 1-[5-(4-Hydroxyphenyl)thien-2-yl]ethanone 85699-00-9P, 1-(4-Methoxymethoxyphenyl)ethanone 120110-62-5P 147804-30-6P 160984-14-5P, (4-Acetylphenoxy)acetonitrile 306934-99-6P, 2-Amino-4-(4-bromophenyl)thiophene-3-carboxylic acid ethyl ester 590376-44-6P, 2-(2-Cyanoacetylamino)-5-methylthiophene-3-carboxylic acid ethyl ester 837392-64-0P, 5-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)-1,3-dihydro-2H-indol-2-one 844499-49-6P, 2-Amino-4-(3,5-dimethylphenyl)thiophene-3-carboxylic acid ethyl ester 844499-50-9P, 2-(2-Cyanoacetylamino)-4-(3,5-dimethylphenyl)thiophene-3-carboxylic acid ethyl ester 844499-62-3P, 4-(4-Chlorophenyl)-2-[[2-(ethoxycarbonyl)acetyl]amino]thiophene-3-carboxylic acid ethyl ester 844499-63-4P, 3-(4-Chlorophenyl)-4-hydroxy-7H-thieno[2,3-b]pyridin-6-one 844500-01-2P, 4-(4-Bromophenyl)-5-chloro-2-(2-cyanoacetylamino)thiophene-3-carboxylic acid ethyl ester 844500-24-9P, 2-Amino-4-(4-benzyloxy-3,5-dichlorophenyl)thiophene-3-carboxylic acid ethyl ester 844500-25-0P, 4-(4-Benzyloxy-3,5-dichlorophenyl)-2-[[2-(methoxycarbonyl)acetyl]amino]thiophene-3-carboxylic acid ethyl ester 844500-26-1P, 3-(3,5-Dichloro-4-benzyloxyphenyl)-4-hydroxy-7H-thieno[2,3-b]pyridin-6-one 844500-32-9P, 3-[4-(2,3-Dimethoxyphenyl)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-

b]pyridine-5-carbonitrile 844500-35-2P, 2-Amino-4-(4-benzyloxyphenyl)thiophene-3-carboxylic acid ethyl ester 844500-36-3P, 4-(4-Benzyloxyphenyl)-2-[[2-(ethoxycarbonyl)acetyl]amino]thiophene-3-carboxylic acid ethyl ester 844500-37-4P, 3-(4-Benzyloxyphenyl)-4-hydroxy-7H-thieno[2,3-b]pyridin-6-one 844500-38-5P, 3-(4-Hydroxyphenyl)-4-hydroxy-7H-thieno[2,3-b]pyridin-6-one 844500-41-0P, Ethyl 5'-amino-5-bromo-2,3'-bithiophene-4'-carboxylate 844500-42-1P, Ethyl 5-bromo-5'-[(cyanoacetyl)amino]-2,3'-bithiophene-4'-carboxylate 844500-50-1P, Ethyl 5'-amino-5-bromo-2'-chloro-2,3'-bithiophene-4'-carboxylate 844500-51-2P, Ethyl 5-bromo-2'-chloro-5'-[(cyanoacetyl)amino]-2,3'-bithiophene-4'-carboxylate 844500-52-3P, 3-(5-Bromothien-2-yl)-2-chloro-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-55-6P, 2-Cyano-3-[4-[(ethoxycarbonyl)methoxy]phenyl]but-2-enoic acid ethyl ester 844500-56-7P, 2-Amino-4-[4-[(ethoxycarbonyl)methoxy]phenyl]thiophene-3-carboxylic acid ethyl ester hydrochloride 844500-57-8P, 4-[4-[(2-Allyl-2-hydroxypent-4-enyl)oxy]phenyl]-2-aminothiophene-3-carboxylic acid ethyl ester 844500-58-9P, 4-[4-[(2-Allyl-2-hydroxypent-4-enyl)oxy]phenyl]-2-(2-cyanoacetylamino)thiophene-3-carboxylic acid ethyl ester 844500-59-0P, 4-[4-[(2-Allyl-2-hydroxypent-4-enyl)oxy]phenyl]-5-chloro-2-(2-cyanoacetylamino)thiophene-3-carboxylic acid ethyl ester 844500-60-3P, 5-Chloro-2-(2-cyanoacetylamino)-4-[4-[(1-hydroxycyclopent-3-enyl)methoxy]phenyl]thiophene-3-carboxylic acid ethyl ester 844500-77-2P, 2-Amino-4-[4-(2-hydroxy-2-methylpropoxy)phenyl]thiophene-3-carboxylic acid ethyl ester 844500-78-3P, 4-[4-(2-Hydroxy-2-methylpropoxy)phenyl]-2-(2-cyanoacetylamino)thiophene-3-carboxylic acid ethyl ester 844500-79-4P, 4-[4-(2-Hydroxy-2-methylpropoxy)phenyl]-5-chloro-2-(2-cyanoacetylamino)thiophene-3-carboxylic acid ethyl ester 844500-85-2P, 2-(2-Cyanoacetylamino)-4-iodo-5-methylthiophene-3-carboxylic acid ethyl ester 844500-86-3P, 4-Hydroxy-3-iodo-2-methyl-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844500-99-8P, tert-Butyl 4-[5-(5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)thien-2-yl]-3,6-dihydropyridine-1(2H)-carboxylate 844501-01-5P, 2-Amino-4-(4-allyloxyphenyl)thiophene-3-carboxylic acid ethyl ester 844501-02-6P, 4-(4-Allyloxyphenyl)-2-(2-cyanoacetylamino)thiophene-3-carboxylic acid ethyl ester 844501-03-7P, 4-(4-Allyloxyphenyl)-5-chloro-2-(2-cyanoacetylamino)thiophene-3-carboxylic acid ethyl ester 844501-14-0P, 2-Amino-4-(2-phenylcyclopropyl)thiophene-3-carboxylic acid ethyl ester 844501-15-1P, 2-Cyano-3-(2-phenylcyclopropyl)but-2-enoic acid ethyl ester 844501-16-2P, 2-(2-Cyanoacetylamino)-4-(2-phenylcyclopropyl)thiophene-3-carboxylic acid ethyl ester 844501-17-3P, 5-Chloro-2-(2-cyanoacetylamino)-4-(2-phenylcyclopropyl)thiophene-3-carboxylic acid ethyl ester 844501-21-9P, 4-(4,4,5,5-Tetramethyl-1,3,2-dioxaborolan-2-yl)benzene-1,3-diol 844501-23-1P, 3-[3-(Allyloxy)phenyl]-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-27-5P, 5'-(Cyanoacetylamino)-5-(pyridin-2-yl)-[2,3']bithienyl-4'-carboxylic acid ethyl ester 844501-29-7P 844501-35-5P, N-[3-Fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]acetamide 844501-37-7P, 4-Hydroxy-3-(4-hydroxymethylphenyl)-6-oxo-3a,6,7,7a-tetrahydrothieno[2,3-b]pyridine-5-carbonitrile 844501-39-9P, 3-(4-Formylphenyl)-4-hydroxy-6-oxo-3a,6,7,7a-tetrahydrothieno[2,3-b]pyridine-5-carbonitrile 844501-40-2P, [[[4-(5-Cyano-4-hydroxy-6-oxo-3a,6,7,7a-tetrahydrothieno[2,3-b]pyridin-3-yl)benzylidene]amino]oxy]acetic acid 844501-57-1P, 1-[5-[4-(Allyloxy)phenyl]thien-2-yl]ethanone 844501-58-2P, Ethyl 5-[4-(allyloxy)phenyl]-5'-amino-2,3'-bithiophene-4'-carboxylate 844501-59-3P, Ethyl 5-[4-(allyloxy)phenyl]-5'-[(cyanoacetyl)amino]-2,3'-bithiophene-4'-carboxylate 844501-63-9P, 3-(4-Bromo-5-iodothiophen-2-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-67-3P, 4-(4-Benzyloxy-3,5-dichlorophenyl)-2-(2-

cyanoacetylamino)thiophene-3-carboxylic acid ethyl ester 844501-68-4P, 3-(4-Benzyloxy-3,5-dichlorophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844501-78-6P, N-[3-Chloro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]acetamide 844501-80-0P, 2-[4-(2-Chloro-5-cyano-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridin-3-yl)phenoxy]acetic acid 844501-81-1P, 2-Amino-4-[4-[(ethoxycarbonyl)methoxy]phenyl]-5-chlorothiophene-3-carboxylic acid ethyl ester 844501-83-3P, 2-Cyano-3-(4-cyanomethoxyphenyl)-but-2-enoic acid ethyl ester 844501-84-4P, 2-Amino-4-(4-cyanomethoxyphenyl)thiophene-3-carboxylic acid ethyl ester 844501-85-5P, 2-(2-Cyanoacetylamino)-4-(4-cyanomethoxyphenyl)thiophene-3-carboxylic acid ethyl ester 844501-86-6P, 2-(2-Cyanoacetylamino)-4-(4-cyanomethoxyphenyl)-5-chlorothiophene-3-carboxylic acid ethyl ester 844501-92-4P, 2-(2-Cyanoacetylamino)-4-(4-nitrophenyl)thiophene-3-carboxylic acid ethyl ester 844501-93-5P, 2-(2-Cyanoacetylamino)-4-(4-nitrophenyl)-5-chlorothiophene-3-carboxylic acid ethyl ester 844501-94-6P, 2-(2-Cyanoacetylamino)-4-(4-aminophenyl)-5-chlorothiophene-3-carboxylic acid ethyl ester 844501-95-7P, 2-(2-Cyanoacetylamino)-4-[4-(diallylamino)phenyl]-5-chlorothiophene-3-carboxylic acid ethyl ester 844501-99-1P, 2-Amino-4-[4-[(ethoxycarbonyl)methoxy]phenyl]thiophene-3-carboxylic acid ethyl ester 844502-01-8P, 4-(4-Acetylphenoxymethyl)-4-hydroxypiperidine-1-carboxylic acid tert-butyl ester 844502-02-9P, 4-[((4-(2-Cyano-2-(ethoxycarbonyl)-1-methylethenyl)phenyl)oxy)methyl]-4-hydroxypiperidine-1-carboxylic acid tert-butyl ester 844502-03-0P, 4-[[4-[5-Amino-4-(ethoxycarbonyl)thiophen-3-yl]phenoxy]methyl]-4-hydroxypiperidine-1-carboxylic acid tert-butyl ester 844502-24-5P, 5'-(2-Cyanoacetylamino)-5-iodo-4-methyl[2,3']bithiophen-4'-carboxylic acid ethyl ester 844502-25-6P, 1-(5-Iodo-4-methylthiophene-2-yl)ethanone 844502-26-7P, 2-Cyano-3-(5-iodo-4-methylthiophene-2-yl)but-2-enoic acid ethyl ester 844502-27-8P, 5'-Amino-5-iodo-4-methyl-[2,3']bithiophene-4'-carboxylic acid ethyl ester 844502-29-0P, tert-Butyl 5-acetylthiophene-2-carboxylate 844502-30-3P 844502-31-4P, 5'-[(Cyanoacetyl)amino]-4'-(ethoxycarbonyl)-2,2'-bithiophene-5-carboxylic acid 844502-32-5P, Ethyl 5-[(cyanoacetyl)amino]-5'-[[[2-(dimethylamino)ethyl]amino]carbonyl]-2,2'-bithiophene-4-carboxylate 844502-42-7P, 4-(4-Allyloxyphenyl)-2-amino-5-methylthiophene-3-carboxylic acid ethyl ester 844502-43-8P, 4-(4-Allyloxyphenyl)-2-(2-cyanoacetylamino)-5-methylthiophene-3-carboxylic acid ethyl ester 844502-47-2P, 1-(4-Benzyloxy-3,5-dibromophenyl)ethanone 844502-48-3P, 2-Amino-4-(4-benzyloxy-3,5-dibromophenyl)thiophene-3-carboxylic acid ethyl ester 844502-49-4P, 4-(4-Benzyloxy-3,5-dibromophenyl)-2-(2-cyanoacetylamino)thiophene-3-carboxylic acid ethyl ester 844502-50-7P, 3-(4-Benzyloxy-3,5-dibromophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-57-4P, 5-Acetyl-N-methoxy-N-methylthiophene-2-carboxamide 844502-58-5P, Ethyl 5-amino-5'-[[methoxy(methyl)amino]carbonyl]-2,2'-bithiophene-4-carboxylate 844502-59-6P, Ethyl 5'-acetyl-5-amino-2,2'-bithiophene-4-carboxylate 844502-60-9P, Ethyl 5'-acetyl-5-[(cyanoacetyl)amino]-2,2'-bithiophene-4-carboxylate 844502-61-0P, 2-(5-Acetylthien-2-yl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carbonitrile 844502-63-2P 844502-64-3P 844502-65-4P, 2-[(tert-Butoxycarbonyl)amino]-4-(hydroxymethyl)thiophene-3-carboxylic acid ethyl ester 844502-66-5P, 2-[(tert-Butoxycarbonyl)amino]-4-formylthiophene-3-carboxylic acid ethyl ester 844502-67-6P, 2-[(tert-Butoxycarbonyl)amino]-4-(2-phenylvinyl)thiophene-3-carboxylic acid ethyl ester 844502-68-7P, 2-Amino-4-styrylthiophene-3-carboxylic acid ethyl ester 844502-69-8P, 2-(2-Cyanoacetylamino)-4-(2-phenylethenyl)thiophene-3-carboxylic acid ethyl ester 844502-71-2P, 2-[(tert-Butoxycarbonyl)amino]-4-ethynylthiophene-3-carboxylic acid ethyl ester 844502-72-3P, 2-Amino-4-ethynylthiophene-3-carboxylic acid ethyl ester 844502-73-4P, 2-Amino-4-(phenylethynyl)thiophene-3-carboxylic acid ethyl ester 844502-74-5P, 2-(2-Cyanoacetylamino)-4-

(phenylethynyl)thiophene-3-carboxylic acid ethyl ester 844502-80-3P, 4-(4-Bromophenyl)-2-[[2-(ethoxycarbonyl)acetyl]amino]thiophene-3-carboxylic acid ethyl ester 844502-81-4P, 3-(4-Bromophenyl)-4-hydroxy-6-oxo-6,7-dihydrothieno[2,3-b]pyridine-5-carboxylic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted thieno[2,3-b]**pyridones** as activators for AMP-activated kinase for treatment of diabetes and obesity)

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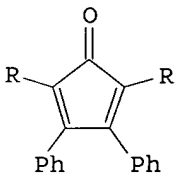
ACCESSION NUMBER: 1978:22478 CAPLUS
DOCUMENT NUMBER: 88:22478
TITLE: Cycloadditions. XXIII. Cycloadditions of organic azides to cyclopentadienones
AUTHOR(S): Hassner, Alfred; **Anderson, David J.**; Reuss, Robert H.
CORPORATE SOURCE: Dep. Chem., State Univ. New York, Binghamton, NY, USA
SOURCE: Tetrahedron Letters (1977), (29), 2463-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
GI



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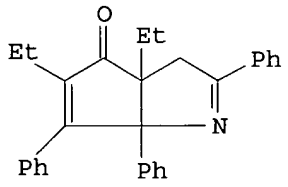
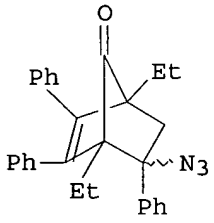


II


III

AB Thermal cycloaddn. of several types of organic azides with the cyclopentadienones I (R = Me, Et) gave heterocycles such as azabicyclo[3.3.0]octanones, -[3.2.1]octanones, -[3.1.0]hexanones, azidobicyclo[2.2.1]heptanones, and **pyridones**, depending on the structure of the azide substrate. E.g. PhCN3:CH2 with I (R = Et) in refluxing CHCl3 gave 52% ketone II and 2% Diels-Alder adduct III. Electron withdrawing substituents on the azide speed up the reaction; the relative rates of p-O2NC6H4CN3:CH2, PhCN3:CH2 and p-MeOC6H4CN3:CH2 are 6:3:1.
CC 27-1 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 25
ST phenylvinyl azide cyclopentadienone cycloaddn; azabicyclooctane; **pyridone**

L46 ANSWER 5 OF 20 MEDLINE on STN DUPLICATE 1
ACCESSION NUMBER: 2006105823 IN-PROCESS
DOCUMENT NUMBER: PubMed ID: 16492149
TITLE: Structure-based optimization of MurF inhibitors.
AUTHOR: Stamper Geoffrey F; Longenecker Kenton L; Fry Elizabeth H; Jakob Clarissa G; Florjancic Alan S; **Gu Yu-Gui**; **Anderson David D**; **Cooper Curt S**; Zhang

Tianyuan; Clark Richard F; Cia Yingna; Black-Schaefer Candace L; Owen McCall J; Lerner Claude G; Hajduk Philip J; **Beutel Bruce A**; Stoll Vincent S

CORPORATE SOURCE: Global Pharmaceutical Research & Development, Department of Structural Biology, Abbott Laboratories, 100 Abbott Park Road, Abbott Park, IL 60064, USA..
geoffrey.stamper@abbott.com

SOURCE: Chemical biology & drug design, (2006 Jan) Vol. 67, No. 1, pp. 58-65.
Journal code: 101262549. ISSN: 1747-0277.
PUB. COUNTRY: England: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: NONMEDLINE; IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 23 Feb 2006
Last Updated on STN: 8 Mar 2006

ABSTRACT:
The D-Ala-D-Ala adding enzyme (MurF) from Streptococcus pneumoniae catalyzes the ATP-dependent formation of the UDP-MurNAc-pentapeptide, a critical component of the bacterial cell wall. MurF is a potential target for antibacterial design because it is unique to bacteria and performs an essential non-redundant function in the bacterial cell. The recent discovery and subsequent cocrystal structure determination of MurF in complex with a new class of inhibitors served as a catalyst to begin a medicinal chemistry program aimed at improving their potency. We report here a multidisciplinary approach to this effort that allowed for rapid generation of cocrystal structures, thereby providing the crystallographic information critical for driving the inhibitor optimization process. This effort resulted in the discovery of low-nanomolar inhibitors of this bacterial enzyme.

L46 ANSWER 6 OF 20 MEDLINE on STN DUPLICATE 3

ACCESSION NUMBER: 2004251088 MEDLINE
DOCUMENT NUMBER: PubMed ID: 15149694

TITLE: Novel inhibitors of bacterial protein synthesis: structure-activity relationships for 1,8-naphthyridine derivatives incorporating position 3 and 4 variants.

AUTHOR: Clark Richard F; Wang Sanyi; Ma Zhenkun; Weitzberg Moshe; Motter Christopher; Tufano Michael; **Wagner Rolf**; **Gu Yu-Gui**; Dandliker Peter J; Lerner Claude G; Chovan Linda E; Cai Yingna; Black-Schaefer Candace L; Lynch Linda; **Kalvin Douglas**; Nilius Angela M; Pratt Steve D; Soni Niru; Zhang Tianyuan; Zhang Xiaolin; **Beutel Bruce A**

CORPORATE SOURCE: Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL 60064, USA..
rick.clark@abbott.com

SOURCE: Bioorganic & medicinal chemistry letters, (2004 Jun 21) Vol. 14, No. 12, pp. 3299-302.
Journal code: 9107377. ISSN: 0960-894X.
PUB. COUNTRY: England: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200501
ENTRY DATE: Entered STN: 20 May 2004
Last Updated on STN: 7 Jan 2005
Entered Medline: 6 Jan 2005

ABSTRACT:
Structure-activity relationships for a recently discovered novel ribosome inhibitor (NRI) class of antibacterials were investigated. Preliminary efforts

to optimize protein synthesis inhibitory activity of the series through modification of positions 3 and 4 of the naphthyridone lead template resulted in the identification of several biochemically potent analogues. A lack of corresponding whole cell antibacterial activity is thought to be a consequence of poor cellular penetration as evidenced by the enhancement of activity observed for a lead analogue tested in the presence of a cell permeabilizing agent.

CONTROLLED TERM: *Anti-Bacterial Agents: CH, chemistry
Anti-Bacterial Agents: PD, pharmacology
*Bacterial Proteins: AI, antagonists & inhibitors
*Bacterial Proteins: BI, biosynthesis
Gram-Negative Bacteria: DE, drug effects
Gram-Negative Bacteria: GD, growth & development
Gram-Positive Bacteria: DE, drug effects
Gram-Positive Bacteria: GD, growth & development
Microbial Sensitivity Tests
*Naphthyridines: CH, chemistry
Naphthyridines: PD, pharmacology
*Protein Synthesis Inhibitors: CH, chemistry
Protein Synthesis Inhibitors: PD, pharmacology
Structure-Activity Relationship

CHEMICAL NAME: 0 (Anti-Bacterial Agents); 0 (Bacterial Proteins); 0 (Naphthyridines); 0 (Protein Synthesis Inhibitors)

L46 ANSWER 7 OF 20 MEDLINE on STN DUPLICATE 4

ACCESSION NUMBER: 2003601472 MEDLINE

DOCUMENT NUMBER: PubMed ID: 14684340

TITLE: Structure-activity relationships of novel potent MurF inhibitors.

AUTHOR: **Gu Yu Gui**; Florjancic Alan S; Clark Richard F; Zhang Tianyuan; **Cooper Curt S**; **Anderson David D**; Lerner Claude G; McCall J Owen; Cai Yingna; Black-Schaefer Candace L; Stamper Geoffrey F; Hajduk Philip J; **Beutel Bruce A**

CORPORATE SOURCE: Infectious Disease Research, Global Pharmaceutical Research and Development, Abbott Laboratories, 200 Abbott Park Road, Abbott Park, IL 60064, USA.. yu-gui.y.gu@abbott.com

SOURCE: Bioorganic & medicinal chemistry letters, (2004 Jan 5) Vol. 14, No. 1, pp. 267-70.
Journal code: 9107377. ISSN: 0960-894X.

PUB. COUNTRY: England: United Kingdom

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200408

ENTRY DATE: Entered STN: 20 Dec 2003
Last Updated on STN: 28 Aug 2004
Entered Medline: 27 Aug 2004

ABSTRACT:
A novel class of MurF inhibitors was discovered and structure-activity relationship studies have led to several potent compounds with IC(50)=22 approximately 70 nM. Unfortunately, none of these potent MurF inhibitors exhibited significant antibacterial activity even in the presence of bacterial cell permeabilizers.

CONTROLLED TERM: *Bacterial Proteins: AI, antagonists & inhibitors
Bacterial Proteins: ME, metabolism
*Enzyme Inhibitors: CH, chemistry
*Enzyme Inhibitors: PD, pharmacology
*Peptide Synthases: AI, antagonists & inhibitors
Peptide Synthases: ME, metabolism

Peptidoglycan: BI, biosynthesis
Structure-Activity Relationship

CHEMICAL NAME: 0 (Bacterial Proteins); 0 (Enzyme Inhibitors); 0 (Peptidoglycan); EC 6.3.2. (Peptide Synthases); EC 6.3.2.10 (UDP-N-acetylmuramoylalanyl-D-glutamyllysine-D-alanyl-D-alanine ligase)

L46 ANSWER 8 OF 20 MEDLINE on STN
ACCESSION NUMBER: 2006375367 IN-PROCESS
DOCUMENT NUMBER: PubMed ID: 16789734
TITLE: Synthesis and Structure-Activity Relationships of N-{3-[2-(4-Alkoxyphenoxy)**thiazol**-5-yl]-1-methylprop-2-ynyl}carboxy Derivatives as Selective Acetyl-CoA Carboxylase 2 Inhibitors.
AUTHOR: **Gu Yu Gui**; Weitzberg Moshe; Clark Richard F; Xu Xiangdong; Li Qun; Zhang Tianyuan; Hansen T Matthew; Liu Gang; Xin Zhili; Wang Xiaojun; Wang Rongqi; McNally Teresa; Camp Heidi; **Beutel Bruce A**; Sham Hing L
CORPORATE SOURCE: Metabolic Disease Research, Global Pharmaceutical Research and Development, Abbott Laboratories, 200 Abbott Park Road, Abbott Park, Illinois 60064.
SOURCE: Journal of medicinal chemistry, (2006 Jun 29) Vol. 49, No. 13, pp. 3770-3.
Journal code: 9716531. ISSN: 0022-2623.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: NONMEDLINE; IN-DATA-REVIEW; IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 23 Jun 2006
Last Updated on STN: 23 Jun 2006

ABSTRACT:
A structurally novel acetyl-CoA carboxylase (ACC) inhibitor is identified from high-throughput screening. A preliminary structure-activity relationship study led to the discovery of potent dual ACC1/ACC2 and ACC2 selective inhibitors against human recombinant ACC1 and ACC2. Selective ACC2 inhibitors exhibited IC(50) < 20 nM and >1000-fold selectivity against ACC1. (S)-Enantiomer 9p exhibited high ACC2 activity and lowered muscle malonyl-CoA dose-dependently in acute rodent studies, whereas (R)-enantiomer 9o was weak and had no effect on the malonyl-CoA level.

L46 ANSWER 9 OF 20 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER: 2005-745283 [76] WPIX
DOC. NO. CPI: C2005-227217
TITLE: New naphthyridine derivatives are bacterial protein synthesis inhibitors to treat bacterial infections.
DERWENT CLASS: B02 B03 C02
INVENTOR(S): **HINMAN, M M**; ROSENBERG, T A; **WAGNER, R**
PATENT ASSIGNEE(S): (HINM-I) HINMAN M M; (ROSE-I) ROSENBERG T A; (WAGN-I) WAGNER R
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 2005234053	A1	20051020	(200576)*		22	A61K031-538

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 2005234053	A1 Provisional	US 2004-542307P	20040206
		US 2005-51907	20050204

PRIORITY APPLN. INFO: US 2004-542307P 20040206; US 2005-51907 20050204

INT. PATENT CLASSIF.:
MAIN: A61K031-538
SECONDARY: A61K031-4745; A61K031-497; A61K031-501; C07D471-14; C07D491-14

BASIC ABSTRACT:

US2005234053 A UPAB: 20051125

NOVELTY - Naphthyridine derivatives (I) and their salts are new.

DETAILED DESCRIPTION - Naphthyridine derivatives of formula (I) and their salts are new.

R1 = OH, OR7, NH2, NHR7 or N(R7)2;

R2 = H or R-p;

R-p = -C(CH3)3, -O(CH2CH=CH2) or (2,4-dimethoxyphenyl)methyl;

R3 = H, R8, -C(O)R8, -C(O)OR8, R9, -C(O)OCH2R9 or R10;

R4 = H, -C(O)R11 or R11-R15;

R5 = H, -C(O)R16 or R16-R20;

R6 = H, R21, OH, OR21, NH2, NHR21 or -N(R21)2;

R7, R-8b, R-8d, R-9a, R-10a, R-11b, R-11d, R-12b, R-12d, R-13b, R-13d, R-14b, R-14d, R-15a, R-16b, R-16d, R-17b, R-17d, R-18b, R-18d, R-19b, R-19d, R-20a, R-21b, R-21d, R-23b, R-23d, R-24b, R-24d, R-25a = 1-6C alkyl;

R8 = 1-6C alkyl or R-8a;

R-8a = 1-6C alkyl (all substituted with 1-3 of F, Cl, Br, I, CF3, CF2CF3, OH, OR-8b, NH2, NHR-8b, N(R-8b)2 or R-8c substituents);

J = furanyl, imidazolyl, **isothiazolyl**, isoxazolyl, 1,2,3-oxadiazoyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridinyl, pyrrolyl, **thiazolyl**, thiophenyl, triazinyl or 1,2,3-triazolyl;

J1 = benzene, furan, imidazole, **isothiazole**, isoxazole, 1,2,3-oxadiazole, oxazole, pyrazine, pyrazole, pyridazine, pyridine, pyrrole, **thiazole** or thiophene;

R-8c = phenyl or J (all optionally fused with J1) (all ring is optionally substituted with 1-3 of R-8d, F, Cl, Br, I, OH, OR-8d, NO2, NH2, NHR-8d or N(R-8d)2 substituents);

R9 = phenyl (optionally fused with benzene, cyclopentane, cyclopentene, cyclohexane or cyclohexene) (all ring is optionally substituted with 1-3 of R-9a, F, Cl, Br, I, OH, OR-9a, NO2, NH2, NHR-9a or -N(R-9a)2 substituents);

R10 = J (optionally fused with J1) (all ring is optionally substituted with 1-3 of R-10a, F, Cl, Br, I, OH, OR-10a, NO2, NH2, NHR-10a or N(R-10a)2 substituents);

R11 = 1-6C alkyl or R-11a;

R-11a = 1-6C alkyl (all substituted with 1-3 of F, Cl, Br, I, CF3, CF2CF3, OH, OR-11b, NH2, NHR-11b, N(R-11b)2 or R-11c substituents);

R-11c = phenyl or J (all optionally fused with J1) (all ring is optionally substituted with 1-3 of R-11d, F, Cl, Br, I, OH, OR-11d , NO2, NH2, NHR-11d or -N(R-11d)2 substituents);

Full definitions are given in DEFINITIONS (Full definitions) section.

ACTIVITY - Antibacterial. The antibacterial activity of (I) was tested in Streptococcus pneumoniae using a biological assay. The results showed that the antibacterial activity of (I) was superior to the control.

MECHANISM OF ACTION - Bacterial protein synthesis inhibitor.

USE - (I) are useful for treating bacterial infections in a fish or a mammal (claimed). (I) are useful for treating antibacterial-resistant bacterial infections and quinolone-resistant bacterial infections in a fish or a mammal.

Dwg.0/0

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-D17; B14-A01; B14-L06; C06-D17; C14-A01; C14-L06
TECH UPTX: 20051125

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preparation: Preparation of (I) comprises

(1) converting dihydro-naphthyridine compounds of formula (4) in the presence of lithium hydroxide to give acid-naphthyridine compounds of formula (4a);

(2) converting (4a) in the presence of diisopropylethylamine and secondary amine compounds of formula (4b) at 24degreesC in solvents (e.g. dimethylsulfoxide and tetrahydrofuran (THF)) to give ester-naphthyridine compounds of formula (5); and

(3) converting (5) in the presence of a base.

L46 ANSWER 10 OF 20 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER: 2004-339854 [31] WPIX
DOC. NO. CPI: C2004-128862
TITLE: New substituted 1,2,3,4-tetrahydroquinoline derivatives, useful for prophylaxis and treatment of bacterial infections e.g. quinoline-resistant bacterial infection in fish and mammals.
DERWENT CLASS: B02
INVENTOR(S): **ANDERSON, D**; **BEUTEL, B**; **COOPER, C**; DANDLIKER, P; DAVID, C; **GU, Y**; **HINMAN, M**; **KALVIN, D**; LYNCH, L; MA, Z; MOTTER, C; ROSENBERG, T; SANDERS, W; TUFANO, M; **WAGNER, R**; WEITZBERG, M; YONG, H
PATENT ASSIGNEE(S): (ANDE-I) ANDERSON D; (BEUT-I) BEUTEL B; (COOP-I) COOPER C; (DAND-I) DANDLIKER P; (DAVI-I) DAVID C; (GUYY-I) GU Y; (HINM-I) HINMAN M; (KALV-I) KALVIN D; (LYNC-I) LYNCH L; (MAZZ-I) MA Z; (MOTT-I) MOTTER C; (ROSE-I) ROSENBERG T; (SAND-I) SANDERS W; (TUFA-I) TUFANO M; (WAGN-I) WAGNER R; (WEIT-I) WEITZBERG M; (YONG-I) YONG H; (ABBO) ABBOTT LAB
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 2004072817	A1	20040415	(200431)*		11	A61K031-4709
US 6818654	B2	20041116	(200475)			C07D215-00

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 2004072817	A1 Provisional	US 2002-363480P	20020312
		US 2003-386816	20030312
US 6818654	B2 Provisional	US 2002-363480P	20020312
		US 2003-386816	20030312

PRIORITY APPLN. INFO: US 2002-363480P 20020312; US 2003-386816 20030312
INT. PATENT CLASSIF.:

MAIN: A61K031-4709; C07D215-00
SECONDARY: A61K031-47; C07D401-02; C07D403-02

BASIC ABSTRACT:

US2004072817 A UPAB: 20040514

NOVELTY - Substituted 1,2,3,4-tetrahydroquinoline derivatives (I) are new.

DETAILED DESCRIPTION - Substituted 1,2,3,4-tetrahydroquinoline derivatives of formula (I) are new.

One of A1 and R4 = OH or OR11 and the other = COOH or C(O)OR60;
R1, R2 = H; or
R1+R2 = O;

R3 = H, t-butyl, OCH2CH=CH2 or methyl substituted by 2,4-dimethoxyphenyl;

R5 = H, alkyl, halo, OH, CF3, CH2CF3 or CF2CF3;

R6 = H, halogen, alkyl, CN, NO2, C(O)H, ethynyl (optionally substituted by alkyl), C-CCl3 (sic), C-CCF3 (sic), CH=CH2 or OR11;

R7 = heterocyclyl selected from azetidinyl, piperidinyl, or pyrrolidinyl (all optionally substituted by alkyl, NH2, OH or NHR35), halo, aryl selected from phenyl (optionally monosubstituted by halo), heteroaryl selected from furyl or thienyl, BiHet (optionally substituted by NH2, NHR35a or C(O)OR35a), NHR13 or NR13R14;

BiHet = six membered bicyclic heterocycle with one or two nitrogen atoms and two non-adjacent carbons attached by a covalent bond or CH2, seven or eight membered bicyclic heterocycle with two nitrogen atoms, eight-membered bicyclic heterocycle with 1 nitrogen atom and no double bonds or nine-membered bicyclic heterocycle with two nitrogen atoms and no double bonds;

R11 = alkyl (optionally substituted by halo, aryl, NH2 or (di)alkylamino) or C(O)R70;

R12-R14 = alkyl (optionally substituted by heterocyclyl, NH2 or (di)alkylamino);

R60, R70 = alkyl (optionally substituted by halo, aryl, NH2 or (di)alkylamino);
R35 = alkyl;

R35a = alkyl optionally substituted by phenyl.

An INDEPENDENT CLAIM is also included for the specific compounds:

(1) ethyl 7-(3-aminopyrrolidin-1-yl)-6-fluoro-3-hydroxy-4-oxo-1,2,3,4-tetrahydro-1,8-naphthyridine-3-carboxylate; and

(2) 7-(3-aminopyrrolidin-1-yl)-6-fluoro-3-hydroxy-4-oxo-1,2,3,4-tetrahydro-1,8-naphthyridine-3-carboxylic acid.

(Editor's note: These compounds are claimed as specifics of (I) but do not fit within the generic.)

ACTIVITY - Antibacterial.

Antibacterial activity of (I) against Streptococcus pneumoniae was determined visually by the broth microdilution method as described in the National Committee for Clinical Laboratory standards (1997),Methods for dilution antimicrobial susceptibility tests for bacteria that grow aerobically. The antibacterial activity of (I) was superior to a control containing no (I).

No results for specific compounds are given.

MECHANISM OF ACTION - Bacterial protein synthesis inhibitor; Bacterial growth inhibitor.

Bacterial protein synthesis inhibitory activity of (I) was determined by translation assays performed using the firefly luciferase reporter system as described in Murray et al., (2001), Staphylococcus aureus Cell Extract Transcription-Translation assay. (I) showed IC50 greater than 100 micro M. No results for specific compounds are given.

USE - For prophylaxis and treatment of bacterial infections e.g. quinoline-resistant bacterial infection in fish and mammal (claimed).

ADVANTAGE - (I) inhibits bacterial growth in fish or mammal.

Dwg.0/1

FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B06-D02; B06-D06; B14-A01; B14-S12
TECH UPTX: 20040514

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preparation: Preparation of (I') ((I): R1+R2 = O; R3 = H; A1 = COOH and R4 = OH) involves reacting 1-tert-butyl-2,3-dihydro-1H-quinolin-4-one derivative of formula (II) with an acid (preferably hydrochloric acid, sulfuric acid and trifluoroacetic acid) at 25-110 degrees C for 3-24 hours at atmospheric or elevated pressures in solvent (preferably tetrahydrofuran (THF), 1,4-dioxane and/or water) to obtain a 2,3-dihydro-1H-quinolin-4-one derivative of formula (III) and reacting (III) with base (preferably lithium hydroxide, sodium hydroxide and potassium hydroxide) under the same conditions in solvent (preferably THF, 1,4-dioxane, methanol, ethanol, isopropanol, dichloromethane and/or water).

L46 ANSWER 11 OF 20 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER: 2004-167282 [16] WPIX
DOC. NO. CPI: C2004-066367
TITLE: New naphthyridine derivatives are bacterial protein synthesis inhibitors useful for treating antibacterial resistant bacterial infection.
DERWENT CLASS: B02
INVENTOR(S): **ANDERSON, D**; **BEUTEL, B**; BOSSE, T; CLARK, R; **COOPER, C**; DANDLIKER, P; DAVID, C; **GU, Y**; HANSEN, T; **HINMAN, M**; **KALVIN, D**; LARSON, D; LYNCH, L; MA, Z; MOTTER, C; PALAZZO, F; REHM, T; ROSENBERG, T; SANDERS, W; TUFANO, M; WAGNER, R; WEITZBERG, M; YONG, H; ZHANG, T; BOSSE, T D; HANSEN, T M; LARSON, D P
PATENT ASSIGNEE(S): (ANDE-I) ANDERSON D; (BEUT-I) BEUTEL B; (BOSS-I) BOSSE T D; (CLAR-I) CLARK R; (COOP-I) COOPER C; (DAND-I) DANDLIKER P; (DAVI-I) DAVID C; (GUYY-I) GU Y; (HANS-I) HANSEN T M; (HINM-I) HINMAN M; (KALV-I) KALVIN D; (LARS-I) LARSON D P; (LYNC-I) LYNCH L; (MAZZ-I) MA Z; (MOTT-I) MOTTER C; (PALA-I) PALAZZO F; (REHM-I) REHM T; (ROSE-I) ROSENBERG T; (SAND-I) SANDERS W; (TUFA-I) TUFANO M; (WAGN-I) WAGNER R; (WEIT-I) WEITZBERG M; (YONG-I) YONG H; (ZHAN-I) ZHANG T; (ABBO) ABBOTT LAB
COUNTRY COUNT: 30
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 2003232818	A1	20031218	(200416)*		118	A61K031-541
WO 2004083207	A1	20040930	(200464)	EN		C07D471-04
RW: AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU MC NL PT SE SI SK TR						
W: CA JP MX						
EP 1631570	A1	20060308	(200618)	EN		C07D471-04
R: AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LI LU MC NL PT SE SI SK TR						
MX 2005009688	A1	20051201	(200628)			A61K031-435
JP 2006514964	W	20060518	(200635)		264	C07D471-00

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 2003232818	A1 Provisional	US 2002-363594P	20020312

		US 2003-387318	20030312
WO 2004083207	A1	WO 2003-US7689	20030312
EP 1631570	A1	EP 2003-723732	20030312
		WO 2003-US7689	20030312
MX 2005009688	A1	WO 2003-US7689	20030312
		MX 2005-9688	20050909
JP 2006514964	W	WO 2003-US7689	20030312
		JP 2004-569641	20030312

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1631570	A1 Based on	WO 2004083207
MX 2005009688	A1 Based on	WO 2004083207
JP 2006514964	W Based on	WO 2004083207

PRIORITY APPLN. INFO: US 2002-363594P 20020312; US 2003-387318 20030312

INT. PATENT CLASSIF.:

MAIN: A61K031-435; A61K031-541; C07D471-00; C07D471-04

SECONDARY: A61K031-4353; A61K031-4375; A61K031-4427; A61K031-4439; A61K031-444; A61K031-4745; A61K031-496; A61K031-519; A61K031-5377; A61P031-00; A61P031-04; C07D471-02; C07D519-00

BASIC ABSTRACT:

US2003232818 A UPAB: 20040324

NOVELTY - Naphthyridine derivatives (I) are new.

DETAILED DESCRIPTION - Naphthyridine derivatives of formula (I), their prodrugs and salts are new.

one of R1 and R2 = absent or H and the other is H, OH or substituted amino, or

R1 + R2 = =O;

R3 = e.g. absent, H, optionally substituted alkyl or CH2CF3;

L1 = a covalent bond, CO or (CH2)m;

m = 1-5;

R4 = e.g. H, aryl, NH2 or OH;

R5 = e.g. H, alkyl, aryl, heteroaryl, halo, OH, CF3 or CH2CF3;

R6 = e.g. H, halo, alkyl, N3, CN, CH2NH2, NO2 or C(O)H, and

R7 = e.g. halo, aryl, heteroaryl, heterocyclyl or bicyclic heterocycloalkyl.

Full definitions are given in the DEFINITIONS (Full Definitions) section.

ACTIVITY - Antibacterial.

MECHANISM OF ACTION - Bacterial protein synthesis inhibitor.

(I) Were tested for bacterial protein synthesis inhibitory activity using translation assays performed using the firefly luciferase reporter system described in Murray et al., (2001), Staphylococcus aureus Cell Extract Transcription-Translation Assay: Firefly Luciferase Reporter System for Evaluating Protein Translation Inhibitors. The IC50 of (I) was found to be 0.01-40 mu M.

USE - Used for treating antibacterial-resistant bacterial infection in fish or mammals (claimed), particularly quinolone-resistant bacterial growth.

ADVANTAGE - (I) exhibit potent bacterial protein synthesis inhibitory activity in vitro.

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; GI; DCN

MANUAL CODES: CPI: B06-D06; B14-A01; B14-L06; B14-S12

TECH UPTX: 20040305
TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preparation: No general preparation of (I) is given.

L46 ANSWER 12 OF 20 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER: 1997-478874 [44] WPIX
CROSS REFERENCE: 1997-225479 [20]
DOC. NO. CPI: C1997-152044
TITLE: New pyrrolidine derivatives - are useful as intermediates in production of e.g. 7-substituted quinolone and 8-substituted 2-**pyridone antibiotics.**
DERWENT CLASS: B03
INVENTOR(S): CHU, D T; **COOPER, C S**; FUNG, A K L; MA, Z
PATENT ASSIGNEE(S): (ABBO) ABBOTT LAB
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 5668164	A	19970916	(199744)*		13	C07D413-06

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 5668164	A Div ex	US 1996-679043	19960712
		US 1996-764418	19961212

FILING DETAILS:

PATENT NO	KIND	PATENT NO
US 5668164	A Div ex	US 5618949

PRIORITY APPLN. INFO: US 1996-679043 19960712; US 1996-764418 19961212
INT. PATENT CLASSIF.:
MAIN: C07D413-06
BASIC ABSTRACT:
US 5668164 A UPAB: 19971105
Pyrrolidine derivatives of formulae (Ia)-(Id) are new. Bn = benzyl; R = 1-6C alkyl, 3-5C cycloalkyl, phenyl or phenyl-substituted(1-6C)alkyl; R1 = isopropyl, isobutyl, tert-butyl, phenyl, benzyl, 1-phenylethyl, diphenylmethyl, naphthyl or adamantyl; * = a chiral centre.
USE - (Ia)-(Id) are useful in the preparation of chiral cis- and trans-3-(protected amino)-4- substituted pyrrolidine compounds, which are useful as intermediates in the preparation of **antimicrobial** pharmaceuticals, including 7-substituted quinolones and 8-substituted 2-**pyridone antibiotics.**
Dwg.0/0
FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B07-D03; B07-E01

L46 ANSWER 13 OF 20 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN
ACCESSION NUMBER: 1997-225479 [20] WPIX
CROSS REFERENCE: 1997-478874 [43]
DOC. NO. CPI: C1997-072251
TITLE: Chiral 3,4-di substd. pyrrolidine derivative preparation - from

chiral oxazolidinone, used as intermediate for **antimicrobial pyridone** or quinolone cpds..

DERWENT CLASS: B03
INVENTOR(S): CHU, D T; **COOPER, C S**; FUNG, A K L; MA, Z
PATENT ASSIGNEE(S): (ABBO) ABBOTT LAB
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 5618949	A	19970408	(199720)*		15	C07D207-09

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 5618949	A	US 1996-679043	19960712

PRIORITY APPLN. INFO: US 1996-679043 19960712
INT. PATENT CLASSIF.:
MAIN: C07D207-09
BASIC ABSTRACT:

US 5618949 A UPAB: 19971105

Preparation of chiral cis- or trans-3,4-disubstd. pyrrolidine cpds. (I) of formula (Ia)/(Ia') or (Ib)/(Ib'), respectively, involves: (a) reacting a chiral oxazolidinone of formula (II) with a strong base selected from alkali metals and their hydrides and alkyls in an aprotic solvent at -78 to -50 deg. C under an inert atmos., followed immediately by addition of a cis- or trans-unsatd. acid chloride of formula (IIIa) or (IIIb) (for preparation of cis- or trans-(I) respectively) and isolating the obtd. cis- or trans-N-alkenoyl oxazolidinone cpd. of formula (IVa) or (IVb) respectively; (b) condensing (IVa) or (IVb) with N-benzyl-N-(methoxymethyl) trimethylsilyl methylamine (V) in presence of an acid catalyst, isolating a mixture of N-(pyrrolidin-3-yl carbonyl) oxazolidinone cpds. of formula (VIa) and (VIa') (if cis cpds. are being prepared) or of formula (VIb) and (VIb') (if trans cpds. are being prepared), where the mixture is enriched in one diastereoisomer over the other depending on the chirality of the oxazolidinone chiral centre, separating the major isomer from the minor by chromatography or recrystallisation and isolating the desired chiral diastereomer; (c) hydrolysing by treatment with LiOH and H2O2 to give the 3-carboxypyrrolidine cpds. of formula (VIIa) or (VIIa') (cis) or (VIIb) or (VIIb') (trans); (d) replacing the COOH gp. by protected amino by treatment with diphenylphosphoryl azide in presence of t-butanol, benzyl alcohol, p-methoxybenzyl alcohol or p-chlorobenzyl alcohol to give the N-benzyl-3-amino pyrrolidine cpd. of formula (VIIIa) or (VIIIa') (cis) or (VIIIb) or (VIIIb') (trans); and (e) debenzylating by treatment with HCOONH4 and Pd/C or Pd(OH)2 catalyst in MeOH or by hydrogenation over Pd/C catalyst and isolating the obtd. cpd. (I).

P = protecting gp. selected from t-butoxycarbonyl (BOC), benzyloxycarbonyl (CBZ), chlorobenzyloxy carbonyl and p-chlorobenzyl oxycarbonyl; R = 1-6C alkyl, 3-5C cycloalkyl, phenyl or phenyl-substd. 1-6C alkyl; R1 = sterically controlling moiety selected from isopropyl, isobutyl, t-butyl, phenyl, benzyl, 1-phenylethyl, diphenylmethyl, naphthyl and adamantyl.

USE - (I) are intermediates for 7-substd. quinolone or 8-substd. 2-**pyridone antibiotic** (i.e. **antimicrobial**) agents.

ADVANTAGE - Both enantiomers of (I) can be obtd. by using the

appropriate chiral (II). The process is suitable for large scale synthesis.
Dwg.0/0
FILE SEGMENT: CPI
FIELD AVAILABILITY: AB; GI; DCN
MANUAL CODES: CPI: B07-D03

L46 ANSWER 14 OF 20 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2005:1815 BIOSIS
DOCUMENT NUMBER: PREV200500011592
TITLE: Antibacterial compounds.
AUTHOR(S): **Anderson, David** [Inventor, Reprint Author]; **Beutel, Bruce** [Inventor]; **Cooper, Curt** [Inventor]; Dandliker, Peter [Inventor]; David, Caroline [Inventor]; **Gu, Yu-Gui** [Inventor]; **Hinman, Mira** [Inventor]; **Kalvin, Douglas** [Inventor]; Lynch, Linda [Inventor]; Ma, Zhenkun [Inventor]; Motter, Christopher [Inventor]; Rosenberg, Teresa [Inventor]; Sanders, William [Inventor]; Tufano, Michael [Inventor]; **Wagner, Rolf** [Inventor]; Weitzberg, Moshe [Inventor]; Yong, Hong [Inventor]
CORPORATE SOURCE: Kenosha, WI, USA
ASSIGNEE: Abbott Laboratories
PATENT INFORMATION: US 6818654 20041116
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Nov 16 2004) Vol. 1288, No. 3. http://www.uspto.gov/web/menu/patdata.html. e-file. ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Dec 2004
Last Updated on STN: 16 Dec 2004
ABSTRACT:Antibacterials having formula (I) ##STR1## and salts, prodrugs, and salts of prodrugs thereof, processes for making the compounds and intermediates used in the processes, compositions containing the compounds, and methods of prophylaxis and treatment of bacterial infections using the compounds are disclosed.
NAT. PATENT. CLASSIF.:514312000
CONCEPT CODE: Pathology - Therapy 12512
Pharmacology - General 22002
Chemotherapy - General, methods and metabolism 38502
Chemotherapy - Antibacterial agents 38504
INDEX TERMS: Major Concepts
Pharmacology
INDEX TERMS: Diseases
bacterial infections: bacterial disease
Bacterial Infections (MeSH)
INDEX TERMS: Chemicals & Biochemicals
antibacterial compounds: antibacterial-drug, antiinfective-drug

L46 ANSWER 15 OF 20 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2004:40865 BIOSIS
DOCUMENT NUMBER: PREV200400041461
TITLE: Identification of novel antibacterial compounds which

inhibit dihydrofolate reductase.

AUTHOR(S): Soni, N. B. [Reprint Author]; Nilius, A. M. [Reprint Author]; **Keyes, R. F.** [Reprint Author]; Tufano, M. [Reprint Author]; **Wagner, R.** [Reprint Author]; Merta, P. J. [Reprint Author]; Beutel, B. A. [Reprint Author]
CORPORATE SOURCE: Abbott Lab, Abbott Park, IL, USA
SOURCE: Abstracts of the Interscience Conference on Antimicrobial Agents and Chemotherapy, (2003) Vol. 43, pp. 250. print. Meeting Info.: 43rd Annual Interscience Conference on Antimicrobial Agents and Chemotherapy. Chicago, IL, USA. September 14-17, 2003. American Society for Microbiology.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Jan 2004
Last Updated on STN: 14 Jan 2004

ABSTRACT:Background: The chemical library was screened for antibacterial activity against B. subtilis. A number of compounds were structurally similar to trimethoprim. The methods were designed to determine if these compounds have same mode of action as trimethoprim, which inhibits the folic acid pathway by inhibiting dihydrofolate reductase. Methods: Antimicrobial susceptibility testing was performed by the NCCLS broth microdilution method. The compounds were tested for thymidine antagonism by adding 1 mug/ml thymidine into the medium. Dihydrofolate reductase (DHFR) inhibition was evaluated by comparing MICs against isogenic strains of S. pneumoniae with wild type dhr and trimethoprim resistant dhr (Ile100Leu). Results: 1. 2,4-diaminopyrimidines: The antibacterial activity of eleven of twelve compounds was reduced by thymidine by 128 to 1024 fold. Ten compounds also had reduced activity against the resistant dhr strain. 2. 2,4-diaminotriazines: The antibacterial activity of four of nine compounds was antagonized by thymidine by 64 to 1024 fold, and also had reduced activity against the resistant dhr strain. The activity of two other compounds was not antagonized by thymidine, but had reduced activity against the resistant dhr strain. 3. Triaminopyrimidine: The antibacterial activity of one compound was reduced by thymidine, but not by resistant DHFR. 4. 2,6-diaminopyrazines and triaminotriazines: The antibacterial activity was not reduced by thymidine or by resistant DHFR Conclusions: Of five structural groups, 2,4diaminopyrimidines and triaminopyrimidines are most structurally similar to trimethoprim and most of them were also DHFR inhibitors. The antibacterial activity against the resistant dhr strain was affected for the majority of 2,4 diaminetriazines, but the activity of only some compounds was antagonized by thymidine. The other compounds are structurally similar to trimethoprim, but may have different mode of action.

CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Biochemistry studies - General 10060
Biochemistry studies - Nucleic acids, purines and pyrimidines 10062
Enzymes - General and comparative studies: coenzymes 10802
Pathology - Therapy 12512
Pharmacology - General 22002
Physiology and biochemistry of bacteria 31000
Chemotherapy - General, methods and metabolism 38502
Chemotherapy - Antibacterial agents 38504
INDEX TERMS: Major Concepts
Enzymology (Biochemistry and Molecular Biophysics); Infection; Pharmacology
INDEX TERMS: Diseases
bacterial infections: bacterial disease, drug therapy

Searched by Barb O'Bryen, STIC 2-2518

Bacterial Infections (MeSH)
INDEX TERMS: Chemicals & Biochemicals
antibacterial compounds: activities, enzyme inhibitor, identification, novel, properties, pharmaceutical; dihydrofolate reductase [EC 1.5.1.3]: activities, drug target, functions, inhibitors; enzyme inhibitors: pharmaceutical; enzymes; thymidine; trimethoprim: antibacterial-drug, antiinfective-drug, enzyme inhibitor-drug
INDEX TERMS: Methods & Equipment
antimicrobial therapy: clinical techniques, therapeutic and prophylactic techniques; broth microdilution method: clinical techniques, laboratory techniques; chemical library screening: laboratory techniques; chemotherapy: clinical techniques, therapeutic and prophylactic techniques
INDEX TERMS: Miscellaneous Descriptors
MIC [minimum inhibitory concentration]; bacterial drug resistance; bacterial physiology/biochemistry; structure-activity relationships
ORGANISM: Classifier
Bacteria 05000
Super Taxa
Microorganisms
Organism Name
bacteria (common): pathogen, inhibition studies
Taxa Notes
Bacteria, Eubacteria, Microorganisms
ORGANISM: Classifier
Endospore-forming Gram-Positives 07810
Super Taxa
Eubacteria; Bacteria; Microorganisms
Organism Name
Bacillus subtilis (species): inhibition studies
Taxa Notes
Bacteria, Eubacteria, Microorganisms
REGISTRY NUMBER: 9002-03-3 (dihydrofolate reductase)
9002-03-3 (EC 1.5.1.3)
50-89-5 (thymidine)
738-70-5 (trimethoprim)

L46 ANSWER 16 OF 20 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2000:485279 BIOSIS
DOCUMENT NUMBER: PREV200000485279
TITLE: The synthesis and **antibacterial** activities of a series of novel 7-fluoro-2-**pyridone** derivatives.
AUTHOR(S): Donner, P. [Reprint author]; **Cooper, C.** [Reprint author]; Nilius, A. [Reprint author]; Bui, M. [Reprint author]; Raney, P. [Reprint author]; Stone, G. [Reprint author]; Or, Y. S. [Reprint author]
CORPORATE SOURCE: Abbott Lab., Abbott Park, IL, USA
SOURCE: Abstracts of the Interscience Conference on Antimicrobial Agents and Chemotherapy, (1999) Vol. 39, pp. 308. cd-rom. Meeting Info.: 39th Interscience Conference on Antimicrobial Agents and Chemotherapy. San Francisco, California, USA. September 26-29, 1999. American Society for Microbiology.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)

Searched by Barb O'Bryen, STIC 2-2518

LANGUAGE: English
ENTRY DATE: Entered STN: 8 Nov 2000
Last Updated on STN: 10 Jan 2002
CONCEPT CODE: Chemotherapy - Antibacterial agents 38504
General biology - Symposia, transactions and proceedings 00520
Biochemistry studies - General 10060
Biochemistry studies - Nucleic acids, purines and pyrimidines 10062
Enzymes - General and comparative studies: coenzymes 10802
Pathology - Therapy 12512
Pharmacology - General 22002
Physiology and biochemistry of bacteria 31000
INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Pharmacology
INDEX TERMS: Chemicals & Biochemicals
1-cyclopropyl-7-fluoro-9-methyl-2-**pyridone**;
3-methylamino moiety; 3-pyrrolidinylmethanamine;
7-fluoro-2-**pyridone** derivatives:
antibacterial, synthesis; A245475:
antibacterial-drug; DNA; DNA gyrase; pyrrole
INDEX TERMS: Miscellaneous Descriptors
Meeting Abstract
ORGANISM: Classifier
Enterobacteriaceae 06702
Super Taxa
Facultatively Anaerobic Gram-Negative Rods; Eubacteria; Bacteria; Microorganisms
Organism Name
Escherichia coli: strain-JUHL
Taxa Notes
Bacteria, Eubacteria, Microorganisms
ORGANISM: Classifier
Gram-Positive Cocci 07700
Super Taxa
Eubacteria; Bacteria; Microorganisms
Organism Name
Enterococcus faecium: strain-ATCC 8043
Taxa Notes
Bacteria, Eubacteria, Microorganisms
ORGANISM: Classifier
Micrococcaceae 07702
Super Taxa
Gram-Positive Cocci; Eubacteria; Bacteria; Microorganisms
Organism Name
Staphylococcus aureus: strain-1775, strain-ATCC 6538P
Taxa Notes
Bacteria, Eubacteria, Microorganisms
ORGANISM: Classifier
Pseudomonadaceae 06508
Super Taxa
Gram-Negative Aerobic Rods and Cocci; Eubacteria; Bacteria; Microorganisms
Organism Name
Pseudomonas aeruginosa: strain-BMH10
Taxa Notes
Bacteria, Eubacteria, Microorganisms
REGISTRY NUMBER: 109-97-7 (pyrrole)

Searched by Barb O'Bryen, STIC 2-2518

L46 ANSWER 17 OF 20 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 1998:113292 BIOSIS
DOCUMENT NUMBER: PREV199800113292
TITLE: **Antibacterial** 2-**pyridones.** Consequence of structural modification at the C-8 position.
AUTHOR(S): Ma, Z.; Chu, D. T. W.; Li, Q.; Shen, L. L.; Fung, A. K. L.; **Cooper, C. S.**; Wang, W.; Wang, S.; Flamm, R. K.; Nilius, A.; Alder, J. D.; Tanaka, S. K.; Or, Y.; Plattner, J. J.
CORPORATE SOURCE: Abbott Lab., Abbott Park, IL, USA
SOURCE: Abstracts of the Interscience Conference on Antimicrobial Agents and Chemotherapy, (1997) Vol. 37, pp. 174. print. Meeting Info.: 37th Interscience Conference on Antimicrobial Agents and Chemotherapy. Toronto, Ontario, Canada. September 28-October 1, 1997. ICAAC.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
Conference; (Meeting Poster)
LANGUAGE: English
ENTRY DATE: Entered STN: 3 Mar 1998
Last Updated on STN: 6 Apr 1998
CONCEPT CODE: Pharmacology - General 22002
Biochemistry studies - General 10060
Medical and clinical microbiology - General and methods 36001
General biology - Symposia, transactions and proceedings 00520
INDEX TERMS: Major Concepts
Pharmacology
INDEX TERMS: Chemicals & Biochemicals
2-**pyridones**: **antibacterial**
INDEX TERMS: Miscellaneous Descriptors
conformation; stereochemistry; steric/electronic situation; structure modification; structure-activity relationship; C-8 position; Meeting Abstract; Meeting Poster
ORGANISM: Classifier
Micrococcaceae 07702
Super Taxa
Gram-Positive Cocci; Eubacteria; Bacteria; Microorganisms
Organism Name
Staphylococcus-aureus: methicillin-resistant
Taxa Notes
Bacteria, Eubacteria, Microorganisms
REGISTRY NUMBER: 142-08-5 (2-**pyridones**)
61-32-5 (METHICILLIN)

L46 ANSWER 18 OF 20 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 1995:11017 BIOSIS
DOCUMENT NUMBER: PREV199598025317
TITLE: Synthesis and **Antibacterial** Activity of A-86719.1 and Related 2-**pyridones**: A Novel Series of Potent DNA gyrase Inhibitors.
AUTHOR(S): Chu, D. T. W.; Li, Q.; Claiborne, A.; Raye-Passarelli, K.; **Cooper, C.**; Fung, A.; Lee, C.; Tanaka, S. K.; Shen, L. L.; Donner, P.; Armiger, Y. L.; Plattner, J. J.

Searched by Barb O'Bryen, STIC 2-2518

CORPORATE SOURCE: Abbott Lab., Abbott Park, IL 60064-3500, USA
SOURCE: Abstracts of the Interscience Conference on Antimicrobial Agents and Chemotherapy, (1994) Vol. 34, No. 0, pp. 108. Meeting Info.: 34th Interscience Conference on Antimicrobial Agents and Chemotherapy. Orlando, Florida, USA. October 4-7, 1994.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
Conference; (Meeting Poster)
LANGUAGE: English
ENTRY DATE: Entered STN: 5 Jan 1995
Last Updated on STN: 5 Jan 1995
CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Biochemistry studies - General 10060
Enzymes - Chemical and physical 10806
Pathology - Therapy 12512
Pharmacology - Clinical pharmacology 22005
Physiology and biochemistry of bacteria 31000
Medical and clinical microbiology - Bacteriology 36002
Chemotherapy - Antibacterial agents 38504
INDEX TERMS: Major Concepts
Enzymology (Biochemistry and Molecular Biophysics); Infection; Pharmacology; Physiology
INDEX TERMS: Chemicals & Biochemicals
NORFLOXACIN; TOPOISOMERASE II
INDEX TERMS: Miscellaneous Descriptors
A-86719.1; **ANTIBACTERIAL**-DRUG; CIPROFLOXACIN; MEETING ABSTRACT; MEETING POSTER; NORFLOXACIN; TOPOISOMERASE II
ORGANISM: Classifier
Enterobacteriaceae 06702
Super Taxa
Facultatively Anaerobic Gram-Negative Rods; Eubacteria; Bacteria; Microorganisms
Organism Name
Klebsiella pneumoniae
Taxa Notes
Bacteria, Eubacteria, Microorganisms
ORGANISM: Classifier
Gram-Positive Cocci 07700
Super Taxa
Eubacteria; Bacteria; Microorganisms
Organism Name
gram-positive cocci
Enterococcus faecalis
Streptococcus pyogenes
Taxa Notes
Bacteria, Eubacteria, Microorganisms
ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates
ORGANISM: Classifier
Micrococcaceae 07702

Searched by Barb O'Bryen, STIC 2-2518

Super Taxa
Gram-Positive Cocci; Eubacteria; Bacteria; Microorganisms
Organism Name
Staphylococcus aureus
Staphylococcus epidermidis
Taxa Notes
Bacteria, Eubacteria, Microorganisms
REGISTRY NUMBER: 70458-96-7 (NORFLOXACIN)
142805-56-9 (TOPOISOMERASE II)

L46 ANSWER 19 OF 20 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 97024268 EMBASE
DOCUMENT NUMBER: 1997024268
TITLE: Studies of mild dehydrogenations in heterocyclic systems.
AUTHOR: Williams D.R.; Lowder P.D.; **Gu Y.-G.**; Brooks D.A.
CORPORATE SOURCE: D.R. Williams, Department of Chemistry, Indiana University, Bloomington, IN 47405, United States
SOURCE: Tetrahedron Letters, (1997) Vol. 38, No. 3, pp. 331-334. .
Refs: 11
ISSN: 0040-4039 CODEN: TELEAY
PUBLISHER IDENT.: S 0040-4039(96)02344-1
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 037 Drug Literature Index
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 14 Feb 1997
Last Updated on STN: 14 Feb 1997
ABSTRACT: The use of bromotrichloromethane-DBU is described for the selective oxidative conversion of several dihydro-heterocyclic systems to the corresponding heteroaromatics. Oxidative dehydrogenations to afford 4-hydroxy-2-pyridinones are examined under a variety of conditions. Studies of phenylselenenylation and peracid oxidation provide the novel spirocyclic oxirane 10.

CONTROLLED TERM: Medical Descriptors:
*drug synthesis
article
drug oxidation
methodology
reaction analysis
Drug Descriptors:
*oxazole derivative: AN, drug analysis
*oxazole derivative: DV, drug development
***pyridone derivative: AN, drug analysis**
***pyridone derivative: DV, drug development**
***thiazole derivative: AN, drug analysis**
***thiazole derivative: DV, drug development**
funiculosin: AN, drug analysis
funiculosin: DV, drug development
CAS REGISTRY NO.: (**pyridone** derivative) 694-85-9; (funiculosin) 11055-06-4

L46 ANSWER 20 OF 20 SCISEARCH COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 1999:761825 SCISEARCH
THE GENUINE ARTICLE: 244EV
TITLE: Synthesis and **antimicrobial** activity of

4H-4-Oxoquinolizine derivatives: Consequences of structural modification at the C-8 position

AUTHOR: Ma Z K (Reprint); Chu D T W; **Cooper C S**; Li Q; Fung A K L; Wang S Y; Shen L L; Flamm R K; Nilius A M; Alder J D; Meulbroek J A; Or Y S

CORPORATE SOURCE: Abbott Labs, 200 Abbott Pk Rd, Abbott Pk, IL 60064 USA (Reprint); Abbott Labs, Abbott Pk, IL 60064 USA

COUNTRY OF AUTHOR: USA

SOURCE: JOURNAL OF MEDICINAL CHEMISTRY, (7 OCT 1999) Vol. 42, No. 20, pp. 4202-4213.
ISSN: 0022-2623.

PUBLISHER: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036 USA.

DOCUMENT TYPE: Article; Journal

LANGUAGE: English

REFERENCE COUNT: 20

ENTRY DATE: Entered STN: 1999
Last Updated on STN: 1999

ABSTRACT:

The **antibacterial** 4H-4-oxoquinolizines were introduced recently to overcome bacterial resistance to fluoroquinolones. They exhibit potent-***antibacterial*** activity against Gram-positive, Gramnegative, and anaerobic organisms and are highly active against some quinolone-resistant bacteria including quinolone-resistant MRSA. Preliminary studies indicated that oxoquinolizines possess distinct activity and toxicity profiles as compared with their parent quinolones. In order to develop a potent ***antibacterial*** agent with the desired spectrum of activity, good tolerability, and balanced pharmacokinetic profile, we synthesized and evaluated a series of oxoquinolizines with various substituents at the C-8 position. Most compounds tested in this study demonstrated better activity against Gram-positive bacteria than ciprofloxacin and exhibited good susceptibility against ciprofloxacin- and methicillin-resistant S. aureus. While maintaining potent in vitro activity, several compounds showed improved in vivo efficacy over ABT-719 as indicated by the mouse protection test. As an example, the oral ED50 values for the cis-3-amino-4-methylpiperidine analogue 3ss against S, aureus NCTC 10649M, S. pneumoniae ATCC 6303, and E. coli JUHL were 0.8, 2.0, and 1.4 mg/kg, compared to 3.0, 10.0, and 8.3 mg/kg for ABT-719. The current study revealed that the steric and electronic environment, conformation, and absolute stereochemistry of the C-8 group are very important to the **antibacterial** profiles. Structural modifications of the C-8 group provide a useful means to improve the ***antibacterial*** activities, physicochemical properties, and pharmacokinetic profiles. Manipulation of the C-8 group also allows us to generate analogues with the desired spectrum of activity, such as analogues that are selective against respiratory pathogens.

CATEGORY: CHEMISTRY, MEDICINAL

SUPPL. TERM PLUS: II DNA TOPOISOMERASES; **ANTIBACTERIAL** AGENTS; MECHANISM; GYRASE; 2-**PYRIDONES**; QUINOLONES

REFERENCE(S):

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	ARN PG (RPG)	Referenced Work (RWK)
BERGER J M	1996	379	225	NATURE
BRIGHTY K E	1997	39	1	J ANTIMICROB CHEMO B
CESARE P D	1992	35	4205	J MED CHEM
CHU D T W	1996	6	711	EXPERT OPIN THER PAT
CHU D T W	1998	33	141	ANNU REP MED CHEM
FREIFELDER M	1963	52	1191	J PHARM SCI
GOOTZ T D	1994	38	130	ANTIMICROB AGENTS CH
HOSHINO J	1995		693	J CHEM SOC P1

JAYNES B H	1996	31	121	ANNU REP MED CHEM
JOHNSON J E	1971	36	284	J ORG CHEM
KLEIN L L	1997	7	1167	BIOORG MED CHEM LETT
KORNET M J	1979	68	377	J PHARM SCI
LESHER G Y	1962	5	1063	J MED PHARM CHEM
LI Q	1996	39	3070	J MED CHEM
MA Z K	1997	8	883	TETRAHEDRON-ASYMMETR
MARIANS K J	1997	272	9401	J BIOL CHEM
MITSCHER L A	1993		3	QUINOLONE ANTIMICROB
SHEN L L	1989	28	3886	BIOCHEMISTRY-US
SHEN L L	1997	3	169	CURR PHARM DESIGN
SHEN L L	1996	2	195	CURR PHARM DESIGN

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STRUCTURE FILE UPDATES:   28 JUN 2006  HIGHEST RN 889935-59-5
DICTIONARY FILE UPDATES:  28 JUN 2006  HIGHEST RN 889935-59-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
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Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html


L60  ANSWER 1 OF 2  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   859731-53-6  REGISTRY
ED   Entered STN:  11 Aug 2005
CN   Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[3-(aminomethyl)-1-
     pyrrolidinyl]-4,7-dihydro-7-oxo-, trifluoroacetate (9CI)  (CA INDEX
     NAME)
MF   C12 H14 N4 O3 S . x C2 H F3 O2
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL

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     CRN  859731-52-5
     CMF  C12 H14 N4 O3 S
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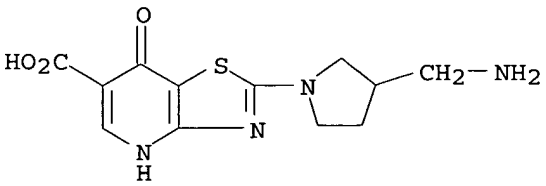

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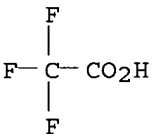
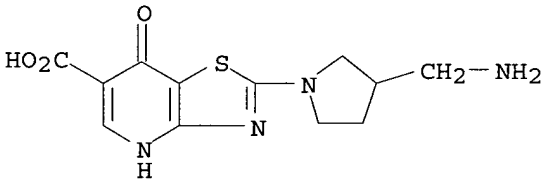


species

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L60 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN 859731-52-5 REGISTRY
ED Entered STN: 11 Aug 2005
CN **Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[3-(aminomethyl)-1-pyrrolidinyl]-4,7-dihydro-7-oxo- (9CI)** (CA INDEX NAME)
FS 3D CONCORD
MF **C12 H14 N4 O3 S**
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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L61 2 L60

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PROCESSING COMPLETED FOR L61
L62 1 DUP REM L61 (1 DUPLICATE REMOVED)
ANSWER '1' FROM FILE CAPLUS

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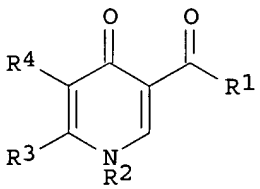
L62 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2005:641875 CAPLUS
DOCUMENT NUMBER: 143:153396
TITLE: Preparation of pyrimidopyridonecarboxylates, thiazolopyridonecarboxylates, and related compounds as antibacterials.
INVENTOR(S): Anderson, David D.; Beutel, Bruce A.; Cooper, Curt S.; Gu, Yu-gui; Hinman, Mira M.; Kalvin, Douglas M.; Keyes, Robert F.; Searle, Xenia B.; Wagner, Rolf
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 28 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005159423	A1	20050721	US 2004-762002	20040121
WO 2005075477	A1	20050818	WO 2004-US40993	20041208

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-762002 A 20040121
OTHER SOURCE(S): MARPAT 143:153396
ED Entered STN: 22 Jul 2005
GI



I

AB Title compds. [I; R1 = OH, OR5, NH2, NHR5, N(R5)2; R2 = H, CMe3, allyloxy, 4-methoxyphenylmethyl, 2,4-dimethoxyphenylmethyl; R3R4 = atoms to form (substituted) thiazolo, pyrimido rings; R5 = alkyl], were prepared Thus, 4-(4-methoxybenzyl)-2-methylsulfonyl-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid (preparation given) and tert-Bu 3-pyrrolidinylmethylcarbamate (preparation given) were refluxed 18 h in EtOH to give protected coupling product, which was stirred in CF3CO2H at 110° for 18 h to give 2-[3-(aminomethyl)pyrrolidin-1-yl]-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid trifluoroacetate. Representative I showed min. inhibitory concns. of 32-64 μg/mL against Streptococcus pneumoniae ATCC 6303.

IT **859731-53-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidopyridonecarboxylates, thiazolopyridonecarboxylates and related compds. as antibacterials)

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STRUCTURE FILE UPDATES:   28 JUN 2006  HIGHEST RN 889935-59-5
DICTIONARY FILE UPDATES:  28 JUN 2006  HIGHEST RN 889935-59-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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  conducting SmartSELECT searches.

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*                                                                       *
* The CA roles and document type information have been removed from     *
* the IDE default display format and the ED field has been added,       *
* effective March 20, 2005.  A new display format, IDERL, is now        *
* available and contains the CA role and document type information.     *
*                                                                       *
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Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html


L49             STR
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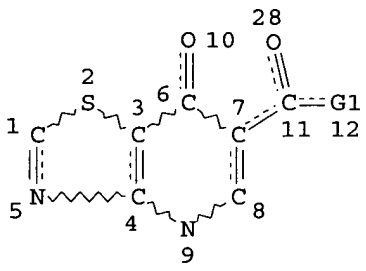

claim 1

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
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100.0% PROCESSED 71 ITERATIONS 54 ANSWERS
SEARCH TIME: 00.00.01

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FILE COVERS 1907 - 29 Jun 2006 VOL 145 ISS 1
FILE LAST UPDATED: 28 Jun 2006 (20060628/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html
'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

L63 5 L51

=> s l63 not (l45 or l60) previously printed
1 L60
L64 4 L63 NOT (L45 OR L60)

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FILE 'TOXCENTER' ENTERED AT 14:46:56 ON 29 JUN 2006

L65 6 L51

FILE 'MARPAT' ENTERED AT 14:46:57 ON 29 JUN 2006
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FILE CONTENT: 1961-PRESENT VOL 144 ISS 26 (20060623/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

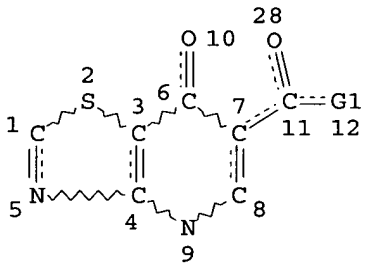
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2006094872 04 MAY 2006
DE 102004050353 20 APR 2006
EP 1645616 12 APR 2006
JP 2006100393 13 APR 2006
WO 2006051143 18 MAY 2006
GB 2416167 18 JAN 2006
FR 2876377 14 APR 2006
RU 2273632 10 APR 2006
CA 2518664 10 MAR 2006

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

L49 STR



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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
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100.0% PROCESSED 904 ITERATIONS 2 ANSWERS
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FILE 'USPATFULL' ENTERED AT 14:47:11 ON 29 JUN 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'TOXCENTER' ENTERED AT 14:47:11 ON 29 JUN 2006
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PROCESSING COMPLETED FOR L64
PROCESSING COMPLETED FOR L55
PROCESSING COMPLETED FOR L65
L66             6 DUP REM L64 L55 L65 (6 DUPLICATES REMOVED)
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                  ANSWER '5' FROM FILE MARPAT
                  ANSWER '6' FROM FILE TOXCENTER

=> d ibib ed abs hitstr 1-4; d ibib abs qhit 5; d iall 6

L66  ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2006 ACS on STN  DUPLICATE 1
ACCESSION NUMBER:         2006:231127  CAPLUS
DOCUMENT NUMBER:          144:312078
TITLE:                    Preparation of thiazolopyridine protein kinase
                          inhibitors useful against various tumors
INVENTOR(S):              Connolly, Peter J.; Johnson, Sigmond G.; Pandey,
                          Niranjan B.; Middleton, Steven A.
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 74 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     US 2006058341         A1    20060316    US 2005-226961          20050915
     WO 2006031929         A2    20060323    WO 2005-US32837         20050915
     WO 2006031929         A3    20060601
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,
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             SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
             YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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PRIORITY APPLN. INFO.:                        US 2004-609992P     P  20040915
OTHER SOURCE(S):          MARPAT 144:312078
ED   Entered STN:  16 Mar 2006
GI
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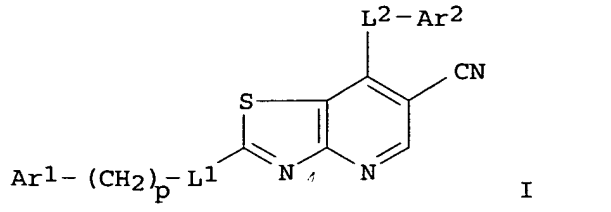

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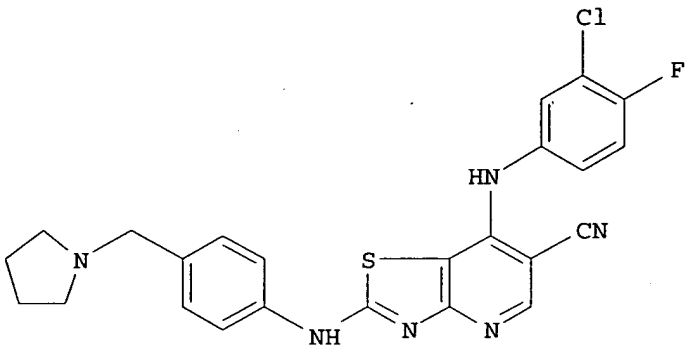


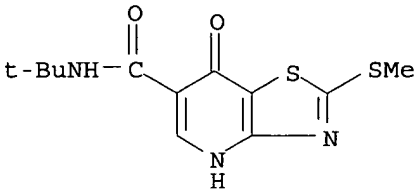
II

AB The present invention is directed to novel thiazolopyridines (shown as I; variables defined below; e.g. 7-(3-chloro-4-fluorophenylamino)-2-[[4-[(pyrrolidin-1-yl)methyl]phenyl]amino]thiazolo[4,5-b]pyridine-6-carbonitrile dihydrochloride (free base shown as II)), pharmaceutical compns. thereof, and the use thereof as inhibitors of ATP-protein kinase interactions. For I: L1 = S(C1-4alkyl), a bond, N(R1), N(R1)C(O) and C(O)N(R1), wherein R1 = H, C1-8alkyl and C1-8alkyl(C1-8alkoxy); p = 0-4; L2 = O, S, N(R1) and a bond; Ar1 = aryl, heteroaryl, benzofused heteroaryl, heterocyclyl and benzofused heterocyclyl (un)substituted with 1-3 substituents; and Ar2 = aryl, heteroaryl, benzofused heteroaryl, heterocyclyl and benzofused heterocyclyl (un)substituted with 1-3 substituents; addnl. details are given in the claims. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.50 examples of I are included. For example, II was prepared in 7 steps starting with preparation of 4-chloro-2-cyano-3-hydroxybut-2-enoic acid tert-Bu ester from tert-Bu cyanoacetate and chloroacetyl chloride and involving the following addnl. intermediates: N-tert-butyl-4-chloro-3-oxobutyramide, 3-(4-amino-2-methylsulfanylthiazol-5-yl)-N-tert-butyl-3-oxopropionamide, 7-chloro-2-methylsulfanylthiazolo[4,5-b]pyridine-6-carbonitrile, 7-(3-chloro-4-fluorophenylamino)-2-methylsulfanylthiazolo[4,5-b]pyridine-6-carbonitrile, and [4-[(pyrrolidin-1-yl)methyl]phenyl]amine. IC50 values for inhibition by some examples of I of EGFR, HER-2, c-Src and Lyn kinases are tabulated.

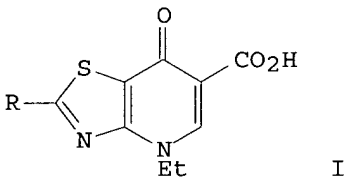
IT **879222-35-2P**, 2-Methylsulfanyl-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid tert-butylamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolopyridine protein kinase inhibitors useful against various tumors)

RN 879222-35-2 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxamide, N-(1,1-dimethylethyl)-4,7-dihydro-2-(methylthio)-7-oxo- (9CI) (CA INDEX NAME)



L66 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 1985:62135 CAPLUS
DOCUMENT NUMBER: 102:62135
TITLE: Thiazolopyridine analogs of nalidixic acid. 2. Thiazolo[4,5-b]pyridines
AUTHOR(S): Leysen, D. C.; Haemers, A.; Bollaert, W.
CORPORATE SOURCE: Dep. Pharm. Sci., Univ. Antwerp, Wilrijk, B-2610, Belg.
SOURCE: Journal of Heterocyclic Chemistry (1984), 21(5), 1361-6
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 24 Feb 1985
GI

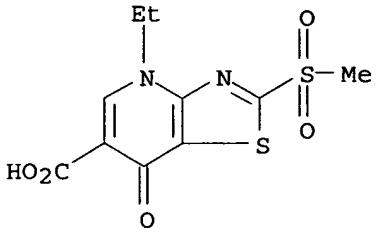


I

AB Title compds. I (R = pyrrolidino, piperidino, piperazino, morpholino, etc.) were prepared from NCN:C(SK)SMe and ClCH2COCH2CO2Et. I showed poor in vitro bactericidal activity.

IT **94507-77-4P 94507-78-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amination of)

RN 94507-77-4 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylsulfonyl)-7-oxo- (9CI) (CA INDEX NAME)



RN 94507-78-5 CAPLUS
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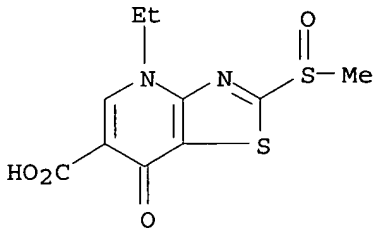

IT **94507-51-4P 94507-52-5P 94507-53-6P**
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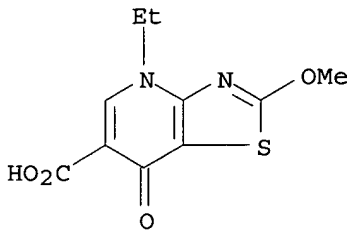
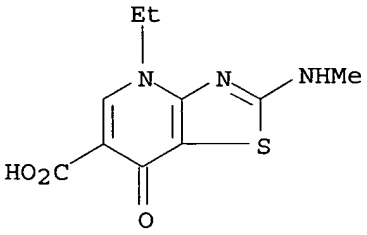
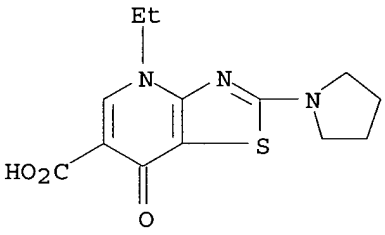
94507-60-5P 94507-61-6P 94507-62-7P
94507-63-8P 94507-64-9P 94507-65-0P
94507-66-1P 94507-67-2P 94507-68-3P
94507-69-4P 94507-70-7P 94507-71-8P
94507-72-9P 94507-73-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 94507-51-4 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-methoxy-7-oxo- (9CI) (CA INDEX NAME)



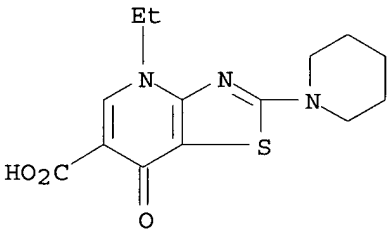
RN 94507-52-5 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylamino)-7-oxo- (9CI) (CA INDEX NAME)



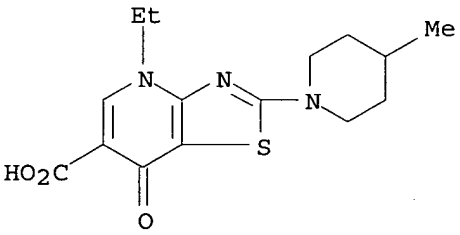
RN 94507-53-6 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-7-oxo-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



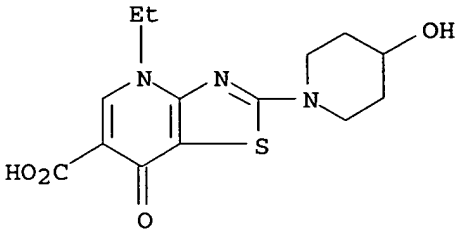
RN 94507-54-7 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-7-oxo-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)



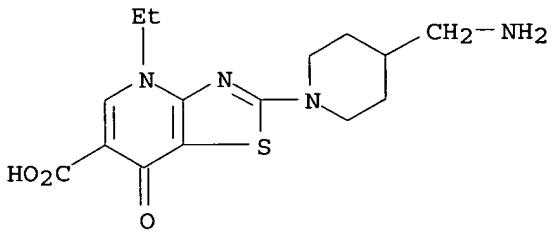
RN 94507-55-8 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(4-methyl-1-piperidinyl)-7-oxo- (9CI) (CA INDEX NAME)



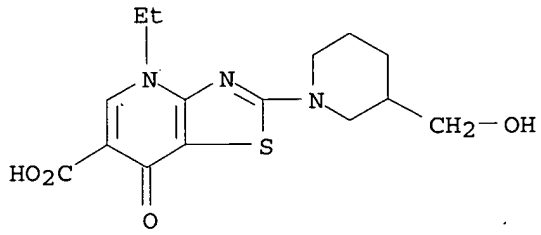
RN 94507-56-9 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(4-hydroxy-1-piperidinyl)-7-oxo- (9CI) (CA INDEX NAME)



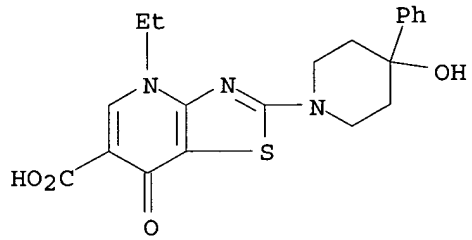
RN 94507-57-0 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[4-(aminomethyl)-1-piperidinyl]-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



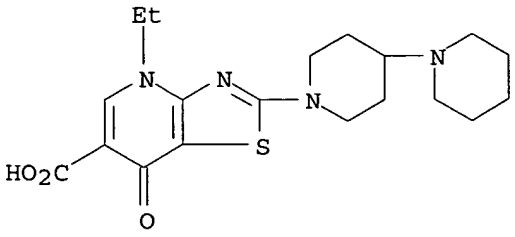
RN 94507-58-1 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-[3-(hydroxymethyl)-1-piperidinyl]-7-oxo- (9CI) (CA INDEX NAME)



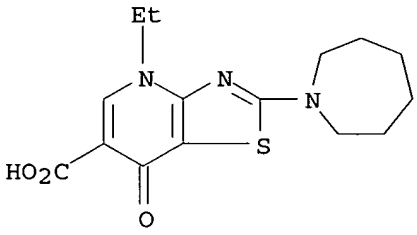
RN 94507-59-2 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(4-hydroxy-4-phenyl-1-piperidinyl)-7-oxo- (9CI) (CA INDEX NAME)



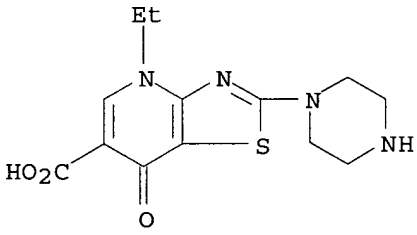
RN 94507-60-5 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[1,4'-bipiperidin]-1'-yl-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



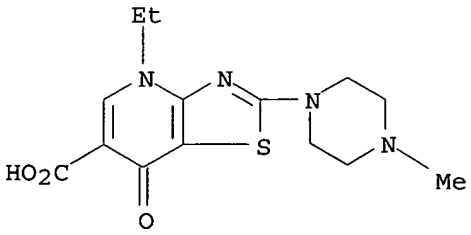
RN 94507-61-6 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-2-(hexahydro-1H-azepin-1-yl)-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



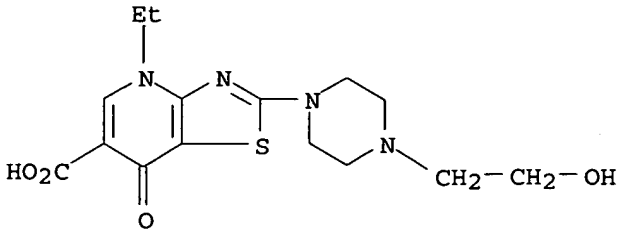
RN 94507-62-7 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-7-oxo-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



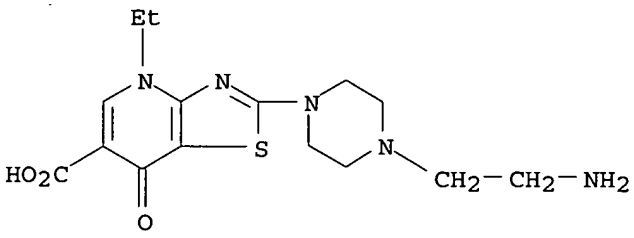
RN 94507-63-8 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(4-methyl-1-piperazinyl)-7-oxo- (9CI) (CA INDEX NAME)



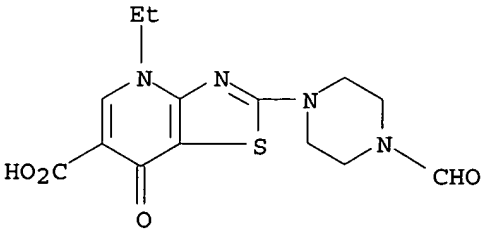
RN 94507-64-9 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-[4-(2-hydroxyethyl)-1-piperazinyl]-7-oxo- (9CI) (CA INDEX NAME)



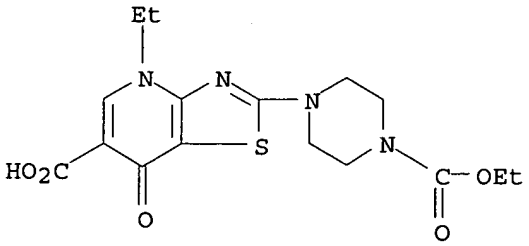
RN 94507-65-0 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[4-(2-aminoethyl)-1-piperazinyl]-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



RN 94507-66-1 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-2-(4-formyl-1-piperazinyl)-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)

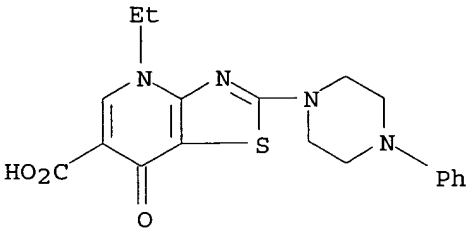


RN 94507-67-2 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[4-(ethoxycarbonyl)-1-piperazinyl]-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)

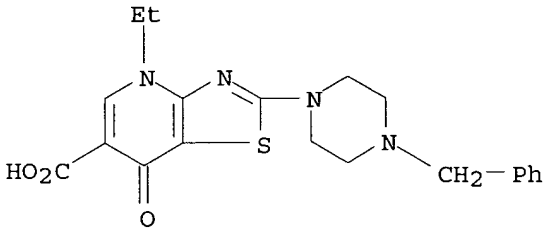


RN 94507-68-3 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-7-oxo-2-(4-

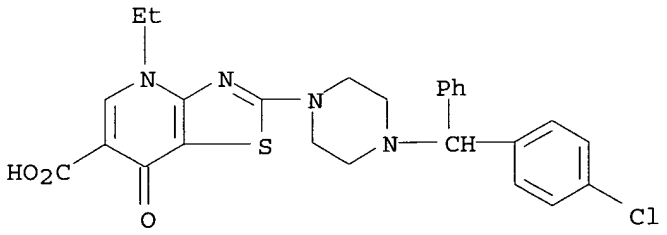
phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



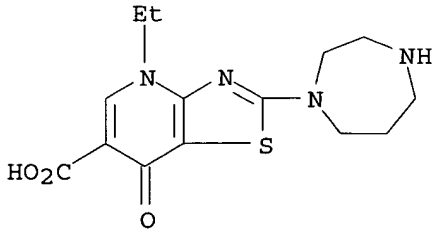
RN 94507-69-4 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-7-oxo-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 94507-70-7 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)

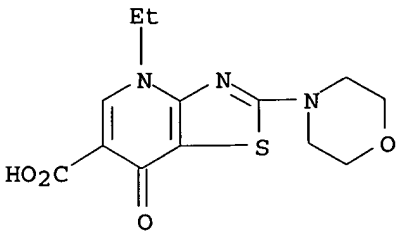


RN 94507-71-8 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-2-(hexahydro-1H-1,4-diazepin-1-yl)-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)

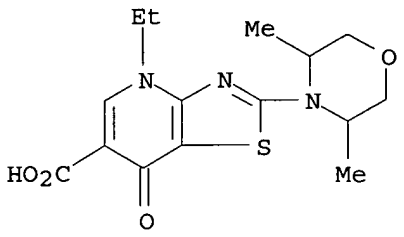


RN 94507-72-9 CAPLUS

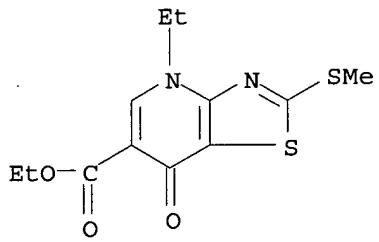
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(4-morpholinyl)-7-oxo- (9CI) (CA INDEX NAME)



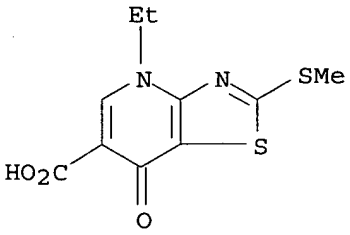
RN 94507-73-0 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 2-(3,5-dimethyl-4-morpholinyl)-4-ethyl-4,7-dihydro-7-oxo- (9CI) (CA INDEX NAME)



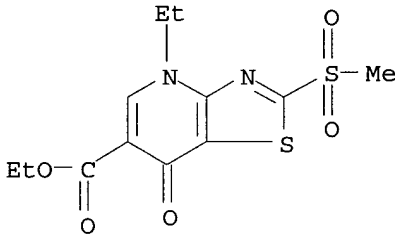
IT **65095-78-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 65095-78-5 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)



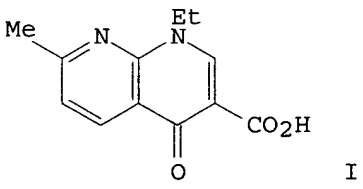
IT **65095-79-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 65095-79-6 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo- (9CI) (CA INDEX NAME)



IT **94507-76-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 94507-76-3 CAPLUS
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylsulfonyl)-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L66 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 1981:25624 CAPLUS
DOCUMENT NUMBER: 94:25624
TITLE: Bactericidal effect against Escherichia coli of nalidixic acid and four structurally related compounds
AUTHOR(S): Stevens, P. J. E.
CORPORATE SOURCE: Microbial Biochem. Dep., Glaxo Group Res. Ltd., Greenford/Middx., UK
SOURCE: Journal of Antimicrobial Chemotherapy (1980), 6(4), 535-42
CODEN: JACHDX; ISSN: 0305-7453
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
GI



I

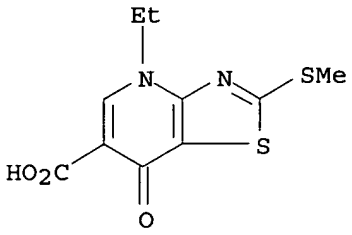
AB The bactericidal action of nalidixic acid (NAL)(I) [389-08-2] and 4 structurally related compds., oxolinic acid [14698-29-4], 17563 (II)

[75871-44-2], 17607 (III) [75871-43-1], and 17665 (IV) [**65095-79-6**] was examined in NAL-sensitive and -resistant strains of E. coli. In the NAL-sensitive strain, II and IV were bactericidal and III was bacteriostatic, whereas in the NAL-resistant strain oxolinic acid was the only bactericidal compound Cell death occurred at concns. which inhibited DNA biosynthesis while having little effect on RNA and protein syntheses. Cell stasis occurred at concns. which inhibited all 3 macromol. processes.

IT **65095-79-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of, against Escherichia coli)

RN 65095-79-6 CAPLUS

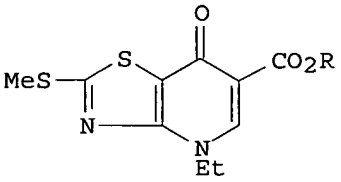
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo- (9CI) (CA INDEX NAME)



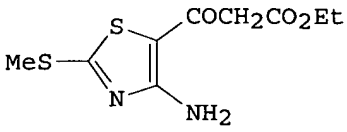
L66 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:37785 CAPLUS
DOCUMENT NUMBER: 88:37785
TITLE: Thiazolopyridine carboxylic acid derivative
INVENTOR(S): Hayakawa, Isao; Tanaka, Yoshiaki; Nagata, Yasuaki
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52083588	A2	19770712	JP 1976-199	19760101
JP 58040554	B4	19830906		
PRIORITY APPLN. INFO.:			JP 1976-199 A	19760101

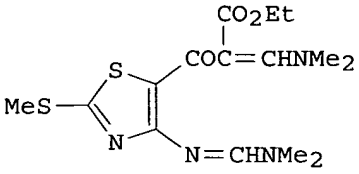
ED Entered STN: 12 May 1984
GI



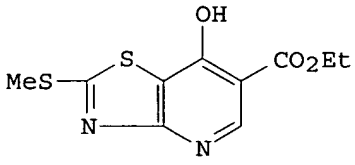
I



II



III



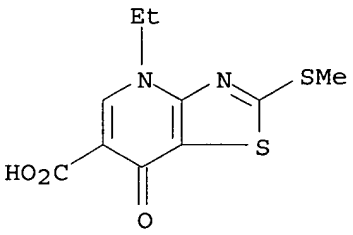
IV

AB Thiazolopyridinecarboxylic acid derivative I (R = H) was prepared; i.e. 4 g K+ (MeS)(S-)C:NCN and 5 g ClCH2COCH2CO2Et in Me2CO were stirred 2 h at room temperature in N to give 73% II, 5.4 g II and 9 g Me2NCH(OMe)2 in PhMe was heated 4 h in N to give 96% III. III (3.2 g) in AcOH was stirred 2 h at room temperature to give 96% IV, 340 mg IV, 1.1 g K2CO3, and 2 mL EtI in DMF were stirred 1 h at 110° to give 46% I (R = Et), 600 mg product in 90% AcOH and 1N HCl was stirred 5 h at 120° to give 68% I (R = H). I (R = H) showed antibacterial activity.

IT **65095-79-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 65095-79-6 CAPLUS

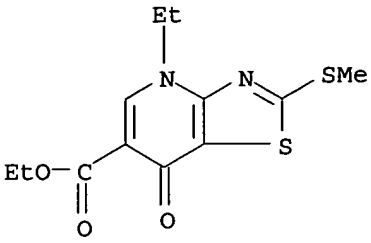
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo- (9CI) (CA INDEX NAME)



IT **65095-78-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 65095-78-5 CAPLUS

CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)

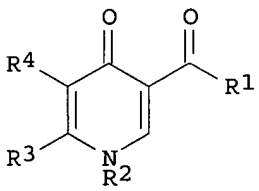


L66 ANSWER 5 OF 6 MARPAT COPYRIGHT 2006 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 143:153396 MARPAT
TITLE: Preparation of pyrimidopyridonecarboxylates, thiazolopyridonecarboxylates, and related compounds as antibacterials.
INVENTOR(S): Anderson, David D.; Beutel, Bruce A.; Cooper, Curt S.; Gu, Yu-gui; Hinman, Mira M.; Kalvin, Douglas M.; Keyes, Robert F.; Searle, Xenia B.; Wagner, Rolf
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 28 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005159423	A1	20050721	US 2004-762002	20040121
WO 2005075477	A1	20050818	WO 2004-US40993	20041208

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

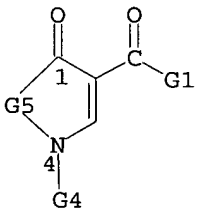
PRIORITY APPLN. INFO.: US 2004-762002 20040121
GI



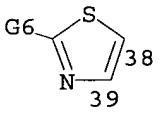
I

AB Title compds. [I; R1 = OH, OR5, NH2, NHR5, N(R5)2; R2 = H, CMe3, allyloxy, 4-methoxyphenylmethyl, 2,4-dimethoxyphenylmethyl; R3R4 = atoms to form (substituted) thiazolo, pyrimido rings; R5 = alkyl], were prepared Thus, 4-(4-methoxybenzyl)-2-methylsulfonyl-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid (preparation given) and tert-Bu 3-pyrrolidinylmethylcarbamate (preparation given) were refluxed 18 h in EtOH to give protected coupling product, which was stirred in CF3CO2H at 110° for 18 h to give 2-[3-(aminomethyl)pyrrolidin-1-yl]-7-oxo-4,7-dihydrothiazolo[4,5-b]pyridine-6-carboxylic acid trifluoroacetate. Representative I showed min. inhibitory concns. of 32-64 μg/mL against Streptococcus pneumoniae ATCC 6303.

MSTR 1



G1 = OH
G5 = 38-1 39-4



Patent location: claim 1
Note: or salts

L66 ANSWER 6 OF 6 TOXCENTER COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:69086 TOXCENTER
COPYRIGHT: Copyright 2006 ACS
DOCUMENT NUMBER: CA08805037785C
TITLE: Thiazolopyridine carboxylic acid derivative
AUTHOR(S): Hayakawa, Isao; Tanaka, Yoshiaki; Nagata, Yasuaki
CORPORATE SOURCE: ASSIGNEE: Daiichi Seiyaku Co., Ltd.
PATENT INFORMATION: JP 7783588 12 Jul 1977
SOURCE: (1977) Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF.
COUNTRY: JAPAN
DOCUMENT TYPE: Patent
FILE SEGMENT: CAPLUS
OTHER SOURCE: CAPLUS 1978:37785
LANGUAGE: Japanese
ENTRY DATE: Entered STN: 16 Nov 2001
Last Updated on STN: 10 Dec 2002
ABSTRACT:

Thiazolopyridinecarboxylic acid derivative I (R = H) was prepared; i.e. 4 g K+ (MeS)(S-)C:NCN and 5 g ClCH2COCH2CO2Et in Me2CO were stirred 2 h at room temperature in N to give 73% II, 5.4 g II and 9 g Me2NCH(OMe)2 in PhMe was heated 4 h in N to give 96% III. III (3.2 g) in AcOH was stirred 2 h at room temperature to give 96%
IV, 340 mg IV, 1.1 g K2CO3, and 2 mL EtI in DMF were stirred 1 h at 110° to give 46% I (R = Et), 600 mg product in 90% AcOH and 1N HCl was stirred 5 h at 120° to give 68% I (R = H). I (R = H) showed antibacterial activity.
CLASSIFICATION CODE: 28-7
SUPPLEMENTARY TERMS: Miscellaneous Descriptors
bactericide thiazolopyridinecarboxylic acid prepn
REGISTRY NUMBER: 4637-24-5; 10191-61-4; 638-07-3; **65095-79-6**; 65095-75-2; **65095-78-5**; 65095-77-4; 65095-76-3; 75-03-6

structures printed on next page

=> fil reg; s 65095-79-6; s 65095-78-5
FILE 'REGISTRY' ENTERED AT 14:48:06 ON 29 JUN 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 JUN 2006 HIGHEST RN 889935-59-5
DICTIONARY FILE UPDATES: 28 JUN 2006 HIGHEST RN 889935-59-5

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

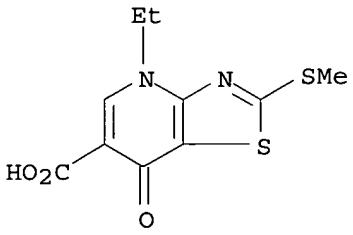
L67 1 65095-79-6
(65095-79-6/RN)

L68 1 65095-78-5

(65095-78-5/RN)

=> d ide l67; d ide l68; fil hom

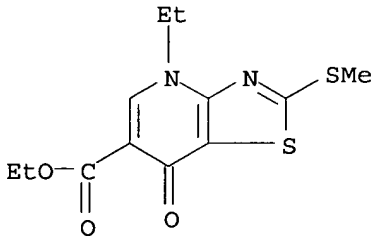
L67 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN **65095-79-6** REGISTRY
ED Entered STN: 16 Nov 1984
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C10 H10 N2 O3 S2
LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L68 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN **65095-78-5** REGISTRY
ED Entered STN: 16 Nov 1984
CN Thiazolo[4,5-b]pyridine-6-carboxylic acid, 4-ethyl-4,7-dihydro-2-(methylthio)-7-oxo-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C12 H14 N2 O3 S2
LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)



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2 REFERENCES IN FILE CA (1907 TO DATE)

Searched by Barb O'Bryen, STIC 2-2518

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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